=> fil reg
FILE 'REGISTRY' ENTERED AT 09:55:02 ON 28 JUL 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 25 JUL 2003 HIGHEST RN 555152-78-8
DICTIONARY FILE UPDATES: 25 JUL 2003 HIGHEST RN 555152-78-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d l8 ide can tot

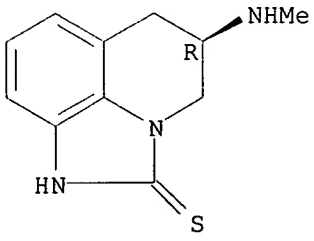
L8 ANSWER 1 OF 4 REGISTRY COPYRIGHT 2003 ACS on STN
RN **282522-94-5** REGISTRY
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF **C11 H13 N3 S . C4 H4 O4**
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

Jan Delaval
Reference Librarian
Biotechnology & Chemical Library
CM1 1E07 – 703-308-4498
jan.delaval@uspto.gov

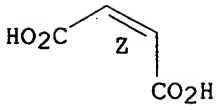
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



6 REFERENCES IN FILE CA (1947 TO DATE)
6 REFERENCES IN FILE CAPLUS (1947 TO DATE)

REFERENCE 1: 137:174924

REFERENCE 2: 136:355238

REFERENCE 3: 136:205395

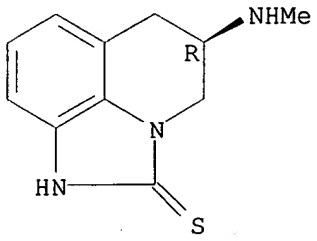
REFERENCE 4: 135:344486

REFERENCE 5: 135:331428

REFERENCE 6: 133:109946

L8 ANSWER 2 OF 4 REGISTRY COPYRIGHT 2003 ACS on STN
RN **282522-93-4** REGISTRY
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN (5R)-5-(Methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione
FS STEREOSEARCH
MF C11 H13 N3 S
CI COM
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

8 REFERENCES IN FILE CA (1947 TO DATE)
8 REFERENCES IN FILE CAPLUS (1947 TO DATE)

REFERENCE 1: 138:78475

REFERENCE 2: 138:78468

REFERENCE 3: 137:174924

REFERENCE 4: 136:355238

REFERENCE 5: 136:205395

REFERENCE 6: 135:344486

REFERENCE 7: 135:331428

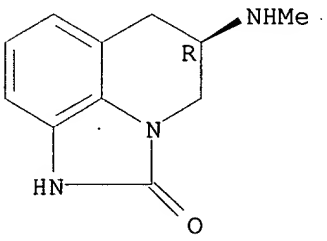
REFERENCE 8: 133:109946

L8 ANSWER 3 OF 4 REGISTRY COPYRIGHT 2003 ACS on STN
RN 179386-44-8 REGISTRY
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (R)-, (Z)-2-butenedioate (1:1)
OTHER NAMES:
CN PNU 95666
CN PNU-95666E
CN U 95666E
FS STEREOSEARCH
DR 194919-11-4
MF **C11 H13 N3 O . C4 H4 O4**
SR CAS Registry Services
LC STN Files: BIOSIS, CA, CAPLUS, CASREACT, PHAR, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL

CM 1

CRN 179386-43-7
CMF C11 H13 N3 O

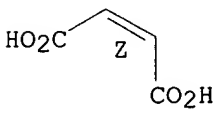
Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



20 REFERENCES IN FILE CA (1947 TO DATE)
20 REFERENCES IN FILE CAPLUS (1947 TO DATE)

REFERENCE 1: 139:63348

REFERENCE 2: 138:221518

REFERENCE 3: 138:198654

REFERENCE 4: 138:198652

REFERENCE 5: 136:355238

REFERENCE 6: 135:344486

REFERENCE 7: 135:331428

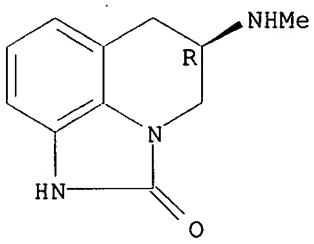
REFERENCE 8: 135:251990

REFERENCE 9: 135:251988

REFERENCE 10: 134:227362

L8 ANSWER 4 OF 4 REGISTRY COPYRIGHT 2003 ACS on STN
RN **179386-43-7** REGISTRY
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (R)-
OTHER NAMES:
CN Sumanirole
FS STEREOSEARCH
DR 194919-10-3
MF C11 H13 N3 O
CI COM
SR CAS Registry Services
LC STN Files: ADISINSIGHT, CA, CAPLUS, DRUGUPDATES, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry. Rotation (-).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

11 REFERENCES IN FILE CA (1947 TO DATE)
12 REFERENCES IN FILE CAPLUS (1947 TO DATE)

REFERENCE 1: 138:395249

REFERENCE 2: 138:78475

REFERENCE 3: 136:355238

REFERENCE 4: 136:205395

REFERENCE 5: 135:344486

REFERENCE 6: 135:331428

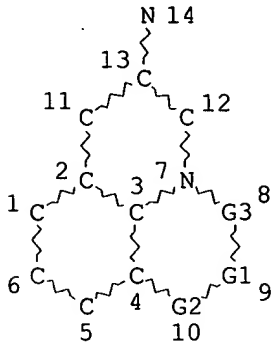
REFERENCE 7: 133:164054

REFERENCE 8: 133:109946

REFERENCE 9: 130:272022

REFERENCE 10: 126:186021

=> d sta que l40
L38 STR



REP G1=(0-1) A
VAR G2=C/O/N
VAR G3=C/S/N
NODE ATTRIBUTES:
NSPEC IS RC AT 14
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 1
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE
L40 319 SEA FILE=REGISTRY SSS FUL L38

100.0% PROCESSED 53332 ITERATIONS 319 ANSWERS
SEARCH TIME: 00.00.01

=> d his

(FILE 'HOME' ENTERED AT 09:13:29 ON 28 JUL 2003)
SET COST OFF

FILE 'HCAPLUS' ENTERED AT 09:13:44 ON 28 JUL 2003
L1 1 S US20020049206/PN
SEL RN

FILE 'REGISTRY' ENTERED AT 09:14:01 ON 28 JUL 2003
L2 14 S E1-E14
L3 3 S L2 AND NCNC2-NC5-C6/ES
L4 38 S (179386-43-7 OR 282522-93-4)/CRN
L5 1 S MALEIC ACID/CN
L6 1 S 2-BUTENEDIOIC ACID/CN
L7 2 S C4H4O4 AND L4
L8 4 S L3,L7

FILE 'HCAPLUS' ENTERED AT 09:23:46 ON 28 JUL 2003
L9 26 S L8

```
L10          17 S (PNU OR U)()(95666 OR 95666E OR 95()(666 OR 666E OR 666 "E"))
L11          30 S L9,L10
L12           1 S L11 AND ADDICT?
                E DRUG DEPENDENCE/CT
L13        8194 S E3,E4
                E E3+ALL
L14       11975 S E3+NT
                E E10+ALL
L15       40766 S E4,E3+NT
                E SUBSTANCE ABUSE/CT
                E E3+ALL
L16        2052 S E2
                E ADDICTION/CT
                E WITHDRAWAL/CT
                E TOBACCO/CT
                E TOBACCO SMOKE/CT
L17       16079 S E3-E9
                E E6+ALL
L18        8814 S E1
                E E2+ALL
L19        7652 S E2,E1+NT
                E ALCOHOLISM/CT
L20        3450 S E3
                E E3+ALL
L21        1072 S E5
L22           2 S L11 AND L13-L21
L23           1 S L22 NOT RESTLESS LEG

     FILE 'REGISTRY' ENTERED AT 09:29:42 ON 28 JUL 2003
L24           2 S (NICOTINE OR ETHANOL)/CN

     FILE 'HCAPLUS' ENTERED AT 09:29:49 ON 28 JUL 2003
L25           1 S L24 AND L11
                E ANDERSON R/AU
L26         324 S E3,E44-E46
                E ANDERSON RICH/AU
L27          54 S E4
L28          29 S E51-E53
                E MCBRINN S/AU
L29           2 S E5,E6
                E MC BRINN S/AU
                E MCBRIN S/AU
                E ROBERTSON D/AU
L30         135 S E3,E31
L31         148 S E51
L32         166 S E76-E78
                E MARSHALL R/AU
L33         233 S E3,E8
                E MARCHAL ROB/AU
                E MARSHALL ROB/AU
L34         163 S E4,E8-E10
L35           3 S L11 AND L26-L34
L36           3 S L1,L12,L23,L35
L37          20 S L11 AND (PD<=20000816 OR PRD<=20000816 OR AD<=20000816)

     FILE 'REGISTRY' ENTERED AT 09:34:57 ON 28 JUL 2003
L38             STR
L39           5 S L38
L40         319 S L38 FUL
                SAV L40 VKIM929/A TEMP
L41             STR L38
L42           7 S L41 SAM SUB=L40
L43             STR L41
```

```
L44            2 S L43 SAM SUB=L40
L45              STR L43
L46            5 S L45 SAM SUB=L40
L47           52 S L43 FUL SUB=L40
L48           67 S L45 FUL SUB=L40
                 SAV TEMP L47 VKIM929A/A
                 SAV TEMP L48 VKIM929B/A
L49          119 S L47,L48
L50          315 S L40 NOT L8

     FILE 'HCAPLUS' ENTERED AT 09:50:30 ON 28 JUL 2003
L51           63 S L50
L52            0 S L51 AND ADDICT?
L53            2 S L51 AND L13-L21
L54            2 S L51 AND L24
L55            4 S L53,L54
L56            2 S L55 AND (COCAIN? OR CANNABI?)
L57            0 S L51 AND L26-L34
L58           17 S L51 AND (ABUS? OR WITHDRAW? OR ?TOLER? OR DEPEND? OR INTOX? O
L59            5 S L36,L56

     FILE 'REGISTRY' ENTERED AT 09:55:02 ON 28 JUL 2003

=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 09:55:37 ON 28 JUL 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)


Copyright of the articles to which records in this database refer is
held by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.
The CA Lexicon is the copyrighted intellectual property of the
the American Chemical Society and is provided to assist you in searching
databases on STN.  Any dissemination, distribution, copying, or storing
of this information, without the prior written consent of CAS, is
strictly prohibited.

FILE COVERS 1907 - 28 Jul 2003  VOL 139 ISS 5
FILE LAST UPDATED: 27 Jul 2003  (20030727/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> d l59 all hitstr tot

L59  ANSWER 1 OF 5  HCAPLUS  COPYRIGHT 2003 ACS on STN
AN   2002:353281  HCAPLUS
DN   136:355238
TI   Preparation of imidazoquinolines and phenylazacycloalkanes as treatments
     for restless legs syndrome
IN   McBrinn, Sylvia; Anderson, Richard W.
PA   Pharmacia & Upjohn Company, USA
SO   PCT Int. Appl., 30 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
IC   ICM  A61K031-445
     ICS  A61K031-48; A61P019-00
CC   28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
```

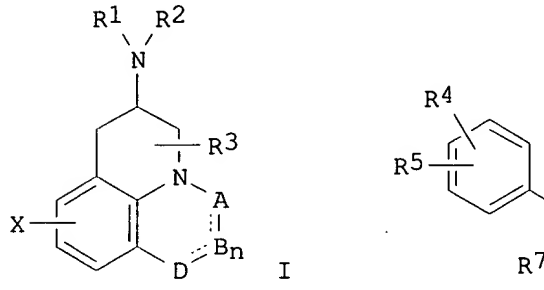
Section cross-reference(s): 1, 63

FAN.CNT 1

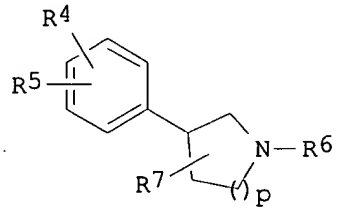
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002036123	A2	20020510	WO 2001-US27785	20011029
	WO 2002036123	A3	20020919		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002011226	A5	20020515	AU 2002-11226	20011029
	US 2002107257	A1	20020808	US 2001-39446	20011029
PRAI	US 2000-244666P	P	20001031		
	WO 2001-US27785	W	20011029		

OS MARPAT 136:355238

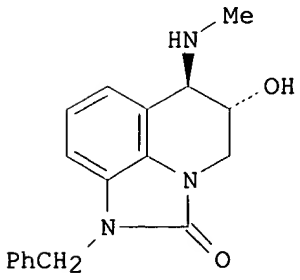
GI



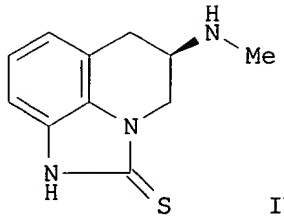
I



II



III



IV

AB Invention compds. I and II [R1-3 = H, alk(en/yn)yl, cycloalkyl, cycloalkyl or R1-2 are joined to form a cyclic amine; X = H, alkyl, halo, hydroxy, alkoxy, cyano, carboxamide, carboxy, carboalkoxyl; A = CH, CH2, CH-halo, CHCH3, C=O, C=S, C-SCH3, C=NH, C-NH2, C-NHCH3, C-NHCOOCH3, C-NHCN, SO2, N; B = CH2, CH, CH-halo, C=O, N, NH, N-CH3; n = 0-1; D = CH, CH2, CH-halo, C=O, O, N, NH, N-CH3; p = 0-3; R4-5 = H (provided only one is H at the same time), OH (provided R7 is other than hydrogen), CN, CH2CN, 2- or 4-CF3, CH2CF3, CH2CHF2, CH=CF2, (CH2)2CF3, ethenyl, 2-propenyl, OSO2CH3, OSO2CF3, SSO2CF3, COR7, COOR7, CON(R7)2, SO0-2CH3, SO0-2CF3, etc.; R6 = H, CF3, CH2CF3, alkyl, cycloalkyl, cycloalkylmethyl, alkenyl, alkynyl,

3,3,3-trifluoropropyl, 4,4,4-trifluorobutyl, etc.; R7 = H, CF3, CH2CF3, alkyl, cycloalkyl, cycloalkylmethyl, alkenyl, alkynyl, 3,3,3-trifluoropropyl, 4,4,4-trifluorobutyl,etc.] were prepd. For instance, (R)-Naproxen chloride (prepn. given) was coupled to 1-Benzyl-5-bromo-6-hydroxy-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one (prepn. given) and the resulting ester treated with MeNH2 in CH3CN to afford intermediate amino alc. III. III was converted to the aziridine via the benzenesulfonate and subsequently treated with Li/NH3 to effect debenzylation and aziridine ring opening. The resulting amide was converted to thioamide IV (pyridine, P4S10, 125.degree.C, 5 h). I and II are useful for treating restless leg syndrome (RLS).

ST treatment restless leg syndrome imidazoquinoline quinoline imidazole prepn piperidine

IT Human
(prepn. of imidazoquinolines and phenylazacycloalkanes as treatments for restless legs syndrome)

IT 146798-66-5P 156907-84-5P 173590-06-2P **179386-43-7P** **179386-44-8P** **282522-93-4P** **282522-94-5P** 369595-93-7P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; prepn. of imidazoquinolines and phenylazacycloalkanes as treatments for restless legs syndrome)

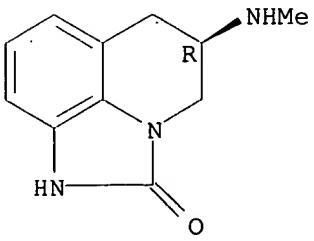
IT 105927-04-6P 227025-33-4P 269731-84-2P 282522-95-6P 282522-96-7P 282522-98-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of imidazoquinolines and phenylazacycloalkanes as treatments for restless legs syndrome)

IT 23979-41-1 83848-83-3, 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. of imidazoquinolines and phenylazacycloalkanes as treatments for restless legs syndrome)

IT **179386-43-7P** **179386-44-8P** **282522-93-4P** **282522-94-5P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; prepn. of imidazoquinolines and phenylazacycloalkanes as treatments for restless legs syndrome)

RN 179386-43-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

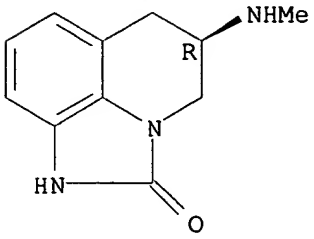


RN 179386-44-8 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 179386-43-7
CMF C11 H13 N3 O

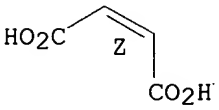
Absolute stereochemistry. Rotation (-).



CM 2

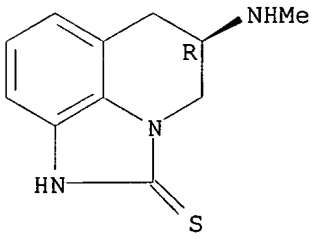
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



RN 282522-93-4 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

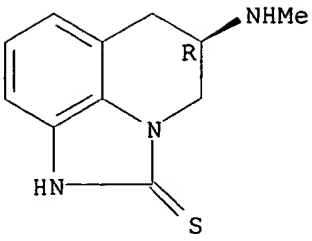


RN 282522-94-5 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

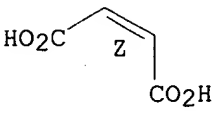
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

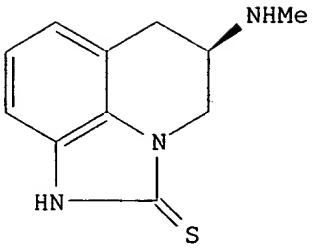
Double bond geometry as shown.



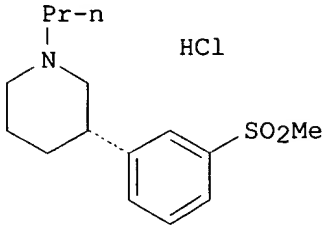
L59 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:142501 HCAPLUS
DN 136:205395
TI Compounds for the treatment of **addictive** disorders
IN **Anderson, Richard W.; McBrinn, Sylvia S.; Robertson, David W.; Marshall, Robert C.**
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-00
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002013807	A2	20020221	WO 2001-US25603	20010813
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001083393	A5	20020225	AU 2001-83393	20010813
	US 2002049206	A1	20020425	US 2001-929666	20010814 <--
	US 2003078273	A1	20030424	US 2002-295331	20021115
	NO 2003000717	A	20030214	NO 2003-717	20030214
PRAI	US 2000-225714P	P	20000816		
	US 2001-263610P	P	20010123		
	WO 2001-US25603	W	20010813		
	US 2001-929666	A3	20010814		

OS MARPAT 136:205395
GI



I



II

AB The treatment of **addictive** disorders, psychoactive substance use disorders, intoxication disorders, inhalation disorders, alc. **addiction**, tobacco **addiction**, and nicotine **addiction** using a heterocyclic amine, a phenylazacycloalkane, a cabergoline, or an arom. bicyclic amine active agent, or a pharmaceutically acceptable deriv. or salt of any said active agent is described. Example compds. are I and II.

ST **addiction** disorder treatment; heterocyclic amine **addiction** disorder treatment; phenyl azacycloalkane **addiction** disorder treatment; cabergoline **addiction** disorder treatment

IT **Drug dependence**
Tobacco smoke
(compds. for the treatment of **addictive** disorders)

IT Amines, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic; compds. for the treatment of **addictive** disorders)

IT 54-11-5, Nicotine 64-17-5, Ethanol, biological studies
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(compds. for the treatment of **addictive** disorders)

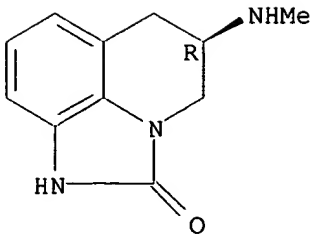
IT 81409-90-7, Cabergoline 156907-84-5 170858-36-3 170858-41-0 173590-06-2 **179386-43-7 282522-93-4 282522-94-5** 369595-93-7 400716-28-1 400716-30-5 400716-32-7
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compds. for the treatment of **addictive** disorders)

IT **179386-43-7 282522-93-4 282522-94-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compds. for the treatment of **addictive** disorders)

RN 179386-43-7 HCAPLUS

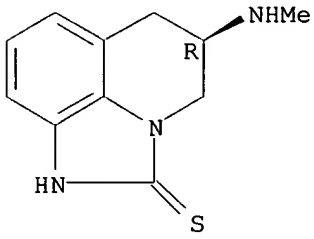
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 282522-93-4 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

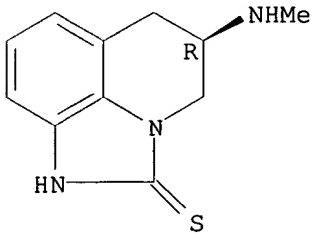


RN 282522-94-5 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

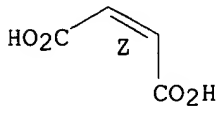
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

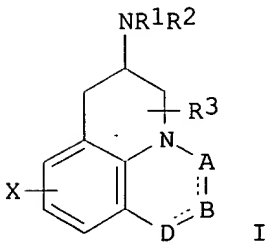
Double bond geometry as shown.



L59 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:798221 HCAPLUS
DN 135:331428
TI Preparation of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome.
IN McCall, Robert B.; **Marshall, Robert C.; Robertson, David W.**; Ashley, Thomas M.
PA Pharmacia + Upjohn Company, USA
SO PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D471-00
CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 27
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001081343	A2	20011101	WO 2001-US10807	20010417
	WO 2001081343	A3	20020228		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002004510	A1	20020110	US 2001-836660	20010417
	US 6448258	B2	20020910		
	EP 1274430	A2	20030115	EP 2001-926590	20010417
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001010210	A	20030128	BR 2001-10210	20010417
	US 2002143010	A1	20021003	US 2002-159913	20020530
	US 6555548	B2	20030429		
PRAI	US 2000-198959P	P	20000421		
	US 2000-200569P	P	20000428		
	US 2001-836660	A3	20010417		
	WO 2001-US10807	W	20010417		

OS MARPAT 135:331428
GI



I

AB Use of title compds., e.g., (I; R1-R3 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, phenylalkyl; R1R2N = cyclic amine; X = H, alkyl, halo, OH, alkoxy, cyano, carboxamide, CO2H, carboalkoxy; A = CH, CH2, CHY, CHMe, CO, CS, CSMe, CNH2, SO2, N, etc.; B = null, CH2, CH, CHY,

CO, N, NH, NMe, O; D = CH, CH2, CHY, CO, O, N, NH, NMe; Y = halo) for prepn. of medicaments for the treatment of symptoms of fibromyalgia or chronic fatigue syndrome is claimed (no data). Thus, 4H-imidazo[4,5,1-ij]quinolin-2(1H)-one was converted in several steps to (5R)-5-methylamino-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione in several steps.

ST heterocyclic amine prepn fibromyalgia chronic fatigue syndrome treatment; imidazoquinolinone prepn fibromyalgia chronic fatigue syndrome treatment; methylsulfonylphenylpropylpiperidine prepn fibromyalgia chronic fatigue syndrome treatment; cabergoline fibromyalgia chronic fatigue syndrome treatment

IT Fatigue, biological
(chronic fatigue syndrome, treatment; prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)

IT Muscle, disease
(fibromyalgia, treatment; prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)

IT Amines, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(heterocyclic; prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)

IT **282522-93-4P**, (5R)-5-(Methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione **282522-94-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)

IT 81409-90-7, Cabergoline 156907-84-5 173590-06-2 **179386-43-7** **179386-44-8** 369595-93-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)

IT 282522-97-8P
RL: BYP (Byproduct); PREP (Preparation)
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)

IT 269731-84-2P, (5R,6R)-1-Benzyl-5-hydroxy-6-(methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-one
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)

IT 74-89-5, Methylamine, reactions 83848-83-3, 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-one
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)

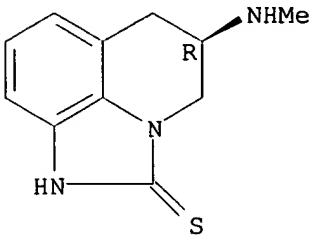
IT 227025-33-4P, 1-Benzyl-4H-imidazo[4,5,1-ij]quinoline-2(1H)-one 282522-96-7P 369595-91-5P, (5R,6R)-1-Benzyl-5-bromo-6-hydroxy-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-one 369595-92-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)

IT **282522-93-4P**, (5R)-5-(Methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione **282522-94-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)

RN 282522-93-4 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

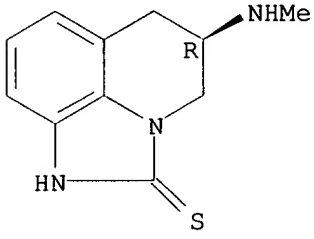


RN 282522-94-5 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

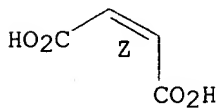
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

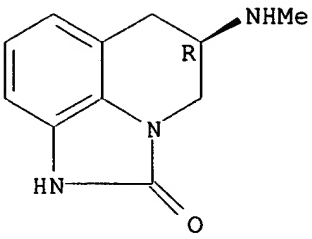
Double bond geometry as shown.



IT **179386-43-7 179386-44-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)

RN 179386-43-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

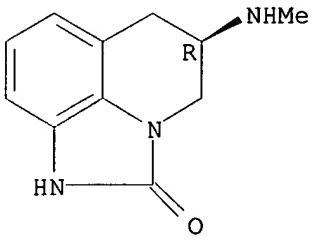


RN 179386-44-8 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 179386-43-7
CMF C11 H13 N3 O

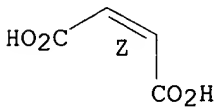
Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



L59 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2003 ACS on STN
AN 1999:623743 HCAPLUS
DN 132:8911
TI Analysis of D2 and D3 Receptor-Selective Ligands in Rats Trained to Discriminate **Cocaine** from Saline
AU Garner, K. J.; Baker, L. E.
CS Department of Psychology, Western Michigan University, Kalamazoo, MI, USA
SO Pharmacology, Biochemistry and Behavior (1999), 64(2), 373-378
CODEN: PBBHAU; ISSN: 0091-3057
PB Elsevier Science Inc.
DT Journal
LA English
CC 1-11 (Pharmacology)
AB This study examd. the role of dopamine D3 receptors in the stimulus

generalization produced by 7-OH-DPAT and PD 128907 in rats trained to discriminate **cocaine** from saline. Twelve male Sprague-Dawley rats were trained to discriminate **cocaine** (10 mg/kg) from saline in a two-choice operant procedure using a FR20 schedule of water reinforcement. Stimulus generalization tests were administered with the D3-preferring agonists (.+-.)-7-OH-DPAT (0.01-0.3 mg/kg), (+)-7-OH-DPAT (0.01-0.3 mg/kg), and PD 128907 (0.01-0.3 mg/kg), and the selective D2 agonist PNU-39156 (0.01-0.3 mg/kg). Complete generalization to **cocaine** was obsd. with (.+-.)-7-OH-DPAT at doses that markedly suppressed response rate. Only partial stimulus generalization was obsd. with (+)-7-OH-DPAT and PD 128907 when these compds. were administered i.p., although s.c. injections of these compds. produced complete substitution. Response rate was also significantly reduced by these compds. The selective D2 agonist, PNU-91356 also fully substituted for the **cocaine** cue and suppressed response rate in a dose-dependent manner. To ascertain the importance of D3 receptor actions in the stimulus generalization produced by (.+-.)-7-OH-DPAT (0.1 mg/kg) and PD-128907 (0.3 mg/kg), the fairly selective D3 antagonist, PNU-99194A (2.5-20 mg/kg) was also tested in combination with these compds. Although PNU-99194A partially attenuated the stimulus generalization produced by (.+-.)-7-OH-DPAT, it failed to block PD-128907 substitution for **cocaine**. These results indicate at least some involvement of D3 receptors in the stimulus effects of (.+-.)-7-OH-DPAT, although further investigations are clearly warranted. The present results also suggest that the cue properties of **cocaine** may be dissocd. from the locomotor activating effects of this drug, because D3/D2 receptor agonists suppress locomotor activity but produce stimulus generalization to **cocaine**.

ST D2 D3 receptor ligand **cocaine** discrimination

IT Dopamine agonists
Dopamine antagonists
Drugs of abuse
(D2 and D3 receptor-selective ligands in rats trained to discriminate **cocaine** from saline)

IT Dopamine receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(D2; D2 and D3 receptor-selective ligands in rats trained to discriminate **cocaine** from saline)

IT Dopamine receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(D3; D2 and D3 receptor-selective ligands in rats trained to discriminate **cocaine** from saline)

IT Behavior
(drug-discriminating; D2 and D3 receptor-selective ligands in rats trained to discriminate **cocaine** from saline)

IT Behavior
(locomotor; D2 and D3 receptor-selective ligands in rats trained to discriminate **cocaine** from saline)

IT 50-36-2, **Cocaine**
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(D2 and D3 receptor-selective ligands in rats trained to discriminate **cocaine** from saline)

IT 74938-11-7, (.+-.)-7-OH-DPAT 82730-72-1, (+)-7-OH-DPAT 83598-46-3, PNU-99194A 123594-64-9, PD 128907 **162616-64-0**, PNU 91356
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(D2 and D3 receptor-selective ligands in rats trained to discriminate **cocaine** from saline)

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Acri, J; Eur J Pharmacol 1995, V281, PR7 HCAPLUS
(2) Baker, L; Behav Pharmacol 1993, V4, P69 HCAPLUS
(3) Baker, L; Behav Pharmacol 1997, V8, P243 HCAPLUS

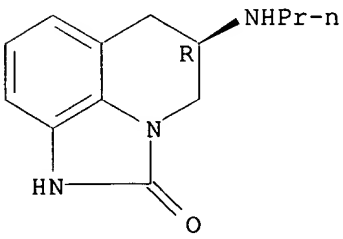
(4) Baker, L; Eur J Pharmacol 1998, V358, P101 HCAPLUS
(5) Barrett, R; Psychopharmacology (Berlin) 1989, V99, P13 HCAPLUS
(6) Bevens, R; Pharmacol Biochem Behav 1997, V58, P485
(7) Burris, K; Neuropsychopharmacology 1995, V12, P335 HCAPLUS
(8) Caine, S; Behav Pharmacol 1995, V6, P333 HCAPLUS
(9) Callahan, P; J Pharmacol Exp Ther 1993, V266, P585 HCAPLUS
(10) Callahan, P; Psychopharmacology (Berlin) 1992, V107, P73 HCAPLUS
(11) Clark, D; Eur J Pharmacol 1995, V275, P67 HCAPLUS
(12) Colpaert, F; Neuropharmacology 1978, V17, P937 HCAPLUS
(13) Colpaert, F; Psychopharmacology (Berlin) 1978, V58, P247 HCAPLUS
(14) Daly, S; Neuropharmacology 1993, V32, P509 HCAPLUS
(15) Demattos, S; Soc Neurosci Abstr 1993, V19, P77
(16) Extance, K; Psychopharmacology (Berlin) 1981, V91, P67
(17) Kleven, M; J Pharmacol Exp Ther 1990, V254, P312 HCAPLUS
(18) Kling-Petersen, T; Behav Pharmacol 1995, V6, P107 HCAPLUS
(19) Lamas, X; Psychopharmacology (Berlin) 1996, V124, P306 HCAPLUS
(20) Levesque, D; Proc Natl Acad Sci USA 1992, V89, P8155 HCAPLUS
(21) McKenna, M; Neuropharmacology 1980, V19, P297 HCAPLUS
(22) Parsons, L; J Neurochem 1996, V3, P1078
(23) Piercey, M; Clin Neuropharmacol 1995, V18, PS34
(24) Pugsley, T; J Pharmacol Exp Ther 1995, V275, P1355 HCAPLUS
(25) Richardson, N; Brain Res 1993, V619, P15 HCAPLUS
(26) Roberts, D; Clin Neuropharmacol 1995, V18, PS84
(27) Smith, A; Psychopharmacology (Berlin) 1995, V120, P93 HCAPLUS
(28) Spealman, R; J Pharmacol Exp Ther 1991, V258, P945 HCAPLUS
(29) Spealman, R; J Pharmacol Exp Ther 1996, V278, P1128 HCAPLUS
(30) Varty, G; Eur J Pharmacol 1997, V339, P101 HCAPLUS
(31) Waters, N; J Neural Transm 1993, V94, P11 HCAPLUS
(32) Witkin, J; J Pharmacol Exp Ther 1991, V257, P706 HCAPLUS

IT **162616-64-0**, PNU 91356
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(D2 and D3 receptor-selective ligands in rats trained to discriminate **cocaine** from saline)

RN 162616-64-0 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(propylamino)-, monohydrochloride, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L59 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1995:559561 HCAPLUS

DN 123:47383

TI Common **cannabimimetic** pharmacophoric requirements between aminoalkyl indoles and classical **cannabinoids**

AU Xie, Xiang-Qun; Eissenstat, Michael; Makriyannis, Alexandros

CS Dep. Pharmaceutical Sciences, School Pharmacy, Storrs, CT, 06269, USA

SO Life Sciences (1995), 56(23/24), 1963-70
CODEN: LIFSAK; ISSN: 0024-3205
PB Elsevier
DT Journal
LA English
CC 1-3 (Pharmacology)

AB Aminoalkylindoles (AAIs) are structurally dissimilar from the classical **cannabinoids** (CCs); however, both AAIs and CCs appear to bind at the same site on the **cannabinoid** receptor. To obtain better insights on the structural correlation between AAIs and CCs, the authors have studied the conformational properties of the potent **cannabimimetic** AAI WIN 5521-2 and its inactive analogs using high resoln. 2D NMR spectroscopy in combination with computer-assisted mol. modeling. The pharmacophoric similarities between the AAIs and the CCs were then investigated using superimposition techniques. The abs. stereochemistries of the biol. active enantiomer (-)-9.beta.-hydroxyhexahydrocannabinol [(-)-(HHC)] were used as superimposition points and considered as internal controls to test the mol. principles guiding this expt. The results show that the model is congruent with a superimposition in which the naphthoyl, morpholino and 3-keto groups in the AAI, resp. correspond to the side chain, cyclohexanol OH and phenolic OH of HHC. A good fit is obtained when the two biol. active antipodes are superimposed. Conversely, the fit is poor if the inactive AAI enantiomer is superimposed on the active HHC enantiomer. It can also be seen that in such an orientation a certain deviation of the C-ring from the plane of the phenol ring of the tricyclic HHC component and of the morpholinyl portion from the plane of the indole ring of WIN 55212-2 is essential for **cannabimimetic** activity. The inactive enantiomer WIN 55212-3 has its resp. components aligned in the opposite quadrant. By comparing the stereoelectronic features of representative AAIs and CCs, the authors have developed a model which may help to uncover the pharmacophoric requirements of the AAIs and serve as a basis for future SAR and drug design.

ST **cannabimimetic** activity aminoalkylindole **cannabinoid** pharmacophore

IT Conformation and Conformers
Pharmacophores
(common **cannabimimetic** pharmacophoric requirements between aminoalkyl indoles and classical **cannabinoids** for **cannabimimetic** activity)

IT **Cannabinoids**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(common **cannabimimetic** pharmacophoric requirements between aminoalkyl indoles and classical **cannabinoids** for **cannabimimetic** activity)

IT **Cannabinoid** receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(common **cannabimimetic** pharmacophoric requirements between aminoalkyl indoles and classical **cannabinoids** for **cannabimimetic** activity)

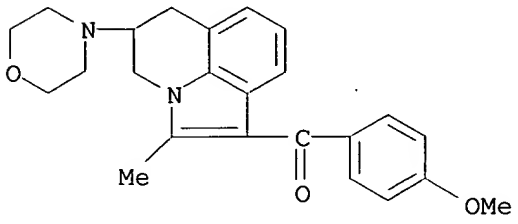
IT Molecular structure-biological activity relationship
(**cannabinoid**, common **cannabimimetic** pharmacophoric requirements between aminoalkyl indoles and classical **cannabinoids** for **cannabimimetic** activity)

IT Receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(**cannabinoid**, common **cannabimimetic** pharmacophoric requirements between aminoalkyl indoles and classical **cannabinoids** for **cannabimimetic** activity)

IT 59685-28-8 92623-83-1, Pravadoline 131543-22-1, WIN 55212-2
131543-24-3, WIN 55212-3 **137794-89-9 164324-23-6**
164324-24-7
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(common **cannabimimetic** pharmacophoric requirements between aminoalkyl indoles and classical **cannabinoids** for **cannabimimetic** activity)

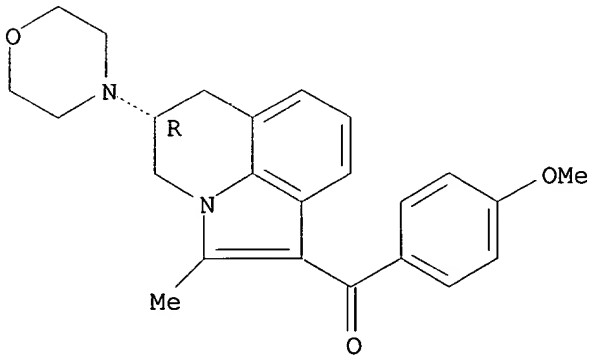
IT **137794-89-9 164324-23-6 164324-24-7**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(common **cannabimimetic** pharmacophoric requirements between aminoalkyl indoles and classical **cannabinoids** for **cannabimimetic** activity)

RN 137794-89-9 HCAPLUS
CN Methanone, [5,6-dihydro-2-methyl-5-(4-morpholinyl)-4H-pyrrolo[3,2,1-ij]quinolin-1-yl](4-methoxyphenyl)- (9CI) (CA INDEX NAME)



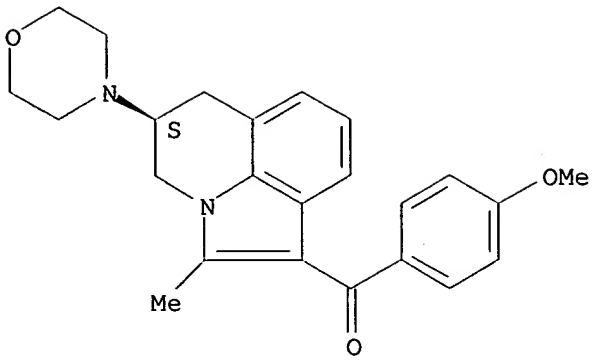
RN 164324-23-6 HCAPLUS
CN Methanone, [5,6-dihydro-2-methyl-5-(4-morpholinyl)-4H-pyrrolo[3,2,1-ij]quinolin-1-yl](4-methoxyphenyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164324-24-7 HCAPLUS
CN Methanone, [5,6-dihydro-2-methyl-5-(4-morpholinyl)-4H-pyrrolo[3,2,1-ij]quinolin-1-yl](4-methoxyphenyl)-, (S)- (9CI) (CA INDEX NAME)

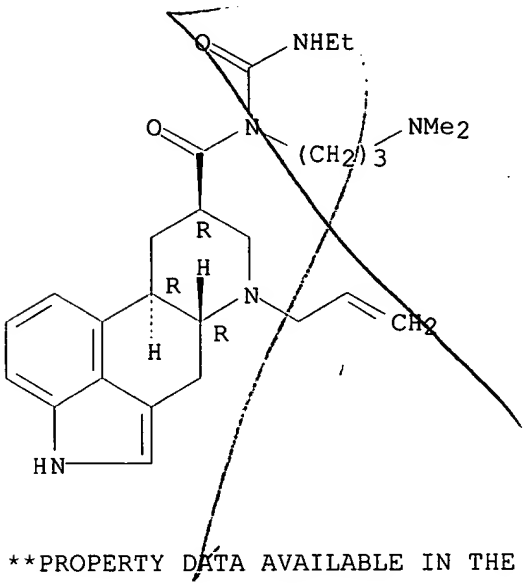
Absolute stereochemistry.




```
=> fil reg
FILE 'REGISTRY' ENTERED AT 10:02:03 ON 28 JUL 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   25 JUL 2003  HIGHEST RN 555152-78-8
DICTIONARY FILE UPDATES:  25 JUL 2003  HIGHEST RN 555152-78-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d l70 ide can tot

L70  ANSWER 1 OF 3  REGISTRY  COPYRIGHT 2003 ACS on STN
RN   81409-90-7  REGISTRY
CN   Ergoline-8-carboxamide, N-[3-(dimethylamino)propyl]-N-
     [(ethylamino)carbonyl]-6-(2-propenyl)-, (8.beta.)- (9CI)  (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN   Indolo[4,3-fg]quinoline, ergoline-8-carboxamide deriv.
OTHER NAMES:
CN   Cabaser
CN   Cabergoline
CN   Dostinex
CN   Galastop
CN   Sogilen
FS   STEREOSEARCH
MF   C26 H37 N5 O2
CI   COM
LC   STN Files:   ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*,
       BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CASREACT, CBNB,
       CIN, CSCHEM, DDFU, DIOGENES, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES,
       EMBASE, IPA, MEDLINE, MRCK*, PHAR, PHARMASEARCH, PROMT, RTECS*,
```

SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL, VETU
(*File contains numerically searchable property data)
Other Sources: WHO

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

201 REFERENCES IN FILE CA (1947 TO DATE)
3 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
201 REFERENCES IN FILE CAPLUS (1947 TO DATE)

REFERENCE 1: 139:34107

REFERENCE 2: 139:31169

REFERENCE 3: 139:30378

REFERENCE 4: 139:29930

REFERENCE 5: 139:17440

REFERENCE 6: 139:828

REFERENCE 7: 139:517

REFERENCE 8: 138:348541

REFERENCE 9: 138:343889

REFERENCE 10: 138:343854

L70 ANSWER 2 OF 3 REGISTRY COPYRIGHT 2003 ACS on STN
RN **64-17-5** REGISTRY
CN Ethanol (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Ethyl alcohol (6CI, 7CI, 8CI)
OTHER NAMES:
CN 100C.NPA
CN AHD 2000
CN Alcare Hand Degermer
CN Alcohol

CN Alcohol anhydrous
CN Algrain
CN Anhydrol
CN Anhydrol PM 4085
CN Desinfektol EL
CN Duplicating Fluid 100C.NPA
CN Esumiru WK 88
CN Ethicap
CN Ethyl hydrate
CN Ethyl hydroxide
CN Hinetoless
CN IMS 99
CN Jaysol
CN Jaysol S
CN Lux
CN Methylcarbinol
CN Molasses alcohol
CN Potato alcohol
CN SDA 3A
CN SDA 40-2
CN Sekundasprit
CN SY Fresh M
CN Synasol
CN Tecsol
CN Tecsol C
FS 3D CONCORD
DR 8000-16-6, 8024-45-1, 121182-78-3
MF C2 H6 O
CI COM
LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, AQUIRE, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CABA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CHEMSAFE, CIN, CSCHEM, CSNB, DDFU, DETHERM*, DIOGENES, DIPPR*, DRUGU, EMBASE, ENCOMPLIT, ENCOMPLIT2, ENCOMPPAT, ENCOMPPAT2, GMELIN*, HODOC*, HSDB*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, NAPRALERT, NIOSHTIC, PDLCOM*, PHARMASEARCH, PIRA, PROMT, RTECS*, SPECINFO, TOXCENTER, TULSA, ULIDAT, USAN, USPAT2, USPATFULL, VETU, VTB
(*File contains numerically searchable property data)
Other Sources: DSL**, EINECS**, TSCA**
(**Enter CHEMLIST File for up-to-date regulatory information)

H_3C-CH_2-OH

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

152448 REFERENCES IN FILE CA (1947 TO DATE)
1131 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
152593 REFERENCES IN FILE CAPLUS (1947 TO DATE)
11 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 139:77924

REFERENCE 2: 139:77838

REFERENCE 3: 139:77078

REFERENCE 4: 139:77012

REFERENCE 5: 139:76762

REFERENCE 6: 139:76746

REFERENCE 7: 139:76600

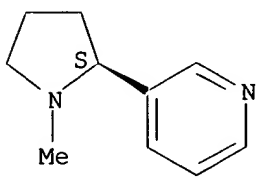
REFERENCE 8: 139:76182

REFERENCE 9: 139:76167

REFERENCE 10: 139:75681

L70 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2003 ACS on STN
RN **54-11-5** REGISTRY
CN Pyridine, 3-[(2S)-1-methyl-2-pyrrolidinyl]- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Nicotine (8CI)
CN Pyridine, 3-(1-methyl-2-pyrrolidinyl)-, (S)-
OTHER NAMES:
CN (-)-.beta.-Pyridyl-.alpha.-N-methylpyrrolidine
CN (-)-3-(1-Methyl-2-pyrrolidyl)pyridine
CN (-)-Nicotine
CN (S)-(-)-Nicotine
CN (S)-3-(1-Methyl-2-pyrrolidinyl)pyridine
CN (S)-Nicotine
CN Flux Maag
CN Habitrol
CN l-Nicotine
CN L-Nicotine
CN Nicabate
CN Nicoderm
CN Nicolan
CN Niconil
CN Nicopatch
CN Nicorette
CN Nicotell TTS
CN Nicotin
CN Nicotinell
CN Tabazur
CN XL All Insecticide
FS STEREOSEARCH
DR 13890-81-8, 13890-82-9, 6912-85-2, 551-13-3, 16760-37-5
MF C10 H14 N2
CI COM
LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, AQUIRE, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CABA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CHEMSAFE, CIN, CSCHEM, CSNB, DDFU, DETHERM*, DIOGENES, DRUGNL, DRUGU, DRUGUPDATES, EMBASE, GMELIN*, HODOC*, HSDB*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, NAPRALERT, NIOSHTIC, PDLCOM*, PHAR, PHARMASEARCH, PIRA, PROMT, RTECS*, SPECINFO, TOXCENTER, TULSA, ULIDAT, USAN, USPAT2, USPATFULL, VETU
(*File contains numerically searchable property data)
Other Sources: DSL**, EINECS**, TSCA**
(**Enter CHEMLIST File for up-to-date regulatory information)

Absolute stereochemistry. Rotation (-).



```
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

          13931 REFERENCES IN FILE CA (1947 TO DATE)
            240 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
          13951 REFERENCES IN FILE CAPLUS (1947 TO DATE)
              3 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE   1:  139:74088

REFERENCE   2:  139:74029

REFERENCE   3:  139:73918

REFERENCE   4:  139:68727

REFERENCE   5:  139:67869

REFERENCE   6:  139:66322

REFERENCE   7:  139:66190

REFERENCE   8:  139:64745

REFERENCE   9:  139:64744

REFERENCE  10:  139:64743


=> d his l60-

     (FILE 'REGISTRY' ENTERED AT 09:55:02 ON 28 JUL 2003)

     FILE 'HCAPLUS' ENTERED AT 09:55:37 ON 28 JUL 2003

     FILE 'REGISTRY' ENTERED AT 09:56:16 ON 28 JUL 2003
L60           1 S L2 AND CABER?
L61           2 S 81409-90-7/CRN

     FILE 'HCAPLUS' ENTERED AT 09:57:56 ON 28 JUL 2003
L62         207 S L60 OR L61
L63         245 S CABERGOLIN? OR CABASER# OR DOSTINEX OR GALASTOP# OR SOGILEN#
L64         257 S L62,L63
L65           3 S L64 AND ADDICT?
L66           7 S L64 AND L13-L21
L67           6 S L64 AND L24
L68          12 S L65-L67
                SEL DN AN 4 6 8
L69           3 S E1-E9 AND L68
                SEL HIT RN

     FILE 'REGISTRY' ENTERED AT 10:01:58 ON 28 JUL 2003
L70           3 S E10-E12

     FILE 'REGISTRY' ENTERED AT 10:02:03 ON 28 JUL 2003

=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 10:02:21 ON 28 JUL 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)
```

FILE COVERS 1907 - 28 Jul 2003 VOL 139 ISS 5
FILE LAST UPDATED: 27 Jul 2003 (20030727/ED)

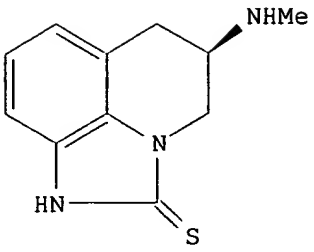
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d l69 all hitstr tot

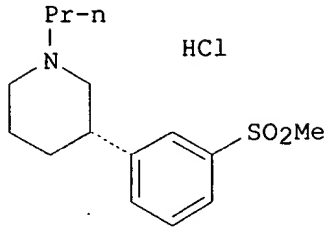
L69 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2003 ACS on STN
AN **2002:142501** HCAPLUS
DN **136:205395**
TI Compounds for the treatment of **addictive** disorders
IN Anderson, Richard W.; McBrinn, Sylvia S.; Robertson, David W.; Marshall, Robert C.
PA Pharmacia & Upjohn Company, USA
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-00
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002013807	A2	20020221	WO 2001-US25603	20010813
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001083393	A5	20020225	AU 2001-83393	20010813
	US 2002049206	A1	20020425	US 2001-929666	20010814
	US 2003078273	A1	20030424	US 2002-295331	20021115
	NO 2003000717	A	20030214	NO 2003-717	20030214
PRAI	US 2000-225714P	P	20000816		
	US 2001-263610P	P	20010123		
	WO 2001-US25603	W	20010813		
	US 2001-929666	A3	20010814		

OS MARPAT 136:205395
GI



I



II

AB The treatment of **addictive** disorders, psychoactive substance use disorders, intoxication disorders, inhalation disorders, alc. **addiction**, tobacco **addiction**, and nicotine **addiction** using a heterocyclic amine, a phenylazacycloalkane, a **cabergoline**, or an arom. bicyclic amine active agent, or a pharmaceutically acceptable deriv. or salt of any said active agent is described. Example compds. are I and II.

ST **addiction** disorder treatment; heterocyclic amine
addiction disorder treatment; phenyl azacycloalkane
addiction disorder treatment; **cabergoline**
addiction disorder treatment

IT **Drug dependence**
Tobacco smoke
(compds. for the treatment of **addictive** disorders)

IT Amines, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic; compds. for the treatment of **addictive** disorders)

IT **54-11-5**, Nicotine **64-17-5**, Ethanol, biological studies
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(compds. for the treatment of **addictive** disorders)

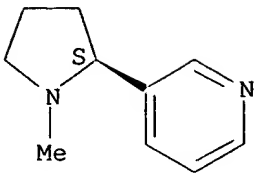
IT **81409-90-7**, **Cabergoline** 156907-84-5 170858-36-3 170858-41-0 173590-06-2 179386-43-7 282522-93-4 282522-94-5 369595-93-7 400716-28-1 400716-30-5 400716-32-7
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compds. for the treatment of **addictive** disorders)

IT **54-11-5**, Nicotine **64-17-5**, Ethanol, biological studies
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(compds. for the treatment of **addictive** disorders)

RN 54-11-5 HCAPLUS

CN Pyridine, 3-[(2S)-1-methyl-2-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

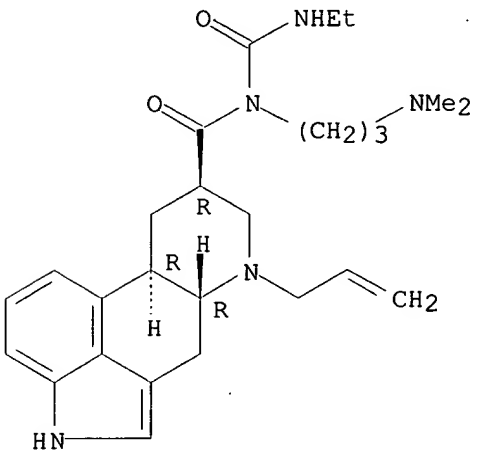


RN 64-17-5 HCAPLUS
CN Ethanol (9CI) (CA INDEX NAME)

H_3C-CH_2-OH

IT **81409-90-7, Cabergoline**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compds. for the treatment of **addictive** disorders)
RN 81409-90-7 HCAPLUS
CN Ergoline-8-carboxamide, N-[3-(dimethylamino)propyl]-N-[(ethylamino)carbonyl]-6-(2-propenyl)-, (8.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L69 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2003 ACS on STN
AN **2001:434864** HCAPLUS
DN **135:29157**
TI Tetrahydrobenzothiazole derivatives for the treatment of **addiction** disorders
IN Berger, Stephen Paul
PA University of Cincinnati, USA
SO PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-428
ICS A61K031-437; A61K031-4045
CC 1-11 (Pharmacology)
Section cross-reference(s): 4
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001041763	A1	20010614	WO 2000-US33444	20001208

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1999-170104P P 19991210

OS MARPAT 135:29157

AB Methods for the treatment of **addiction** disorders involve administering a tetrahydrobenzothiazole deriv., e.g. pramipexole. The invention comprises methods for the treatment or prevention of **addiction** disorders using tetrahydrobenzothiazole derivs., pharmaceutical compns. contg. one or more of tetrahydrobenzothiazole derivs., or pharmaceutical compns. contg. one or more of tetrahydrobenzothiazole derivs. in addn. to a safe and effective amt. of one or more addnl. agents to treat related symptoms and conditions. The invention relates to new uses of tetrahydrobenzothiazoles, the enantiomers and acid addn. salts thereof, particularly the pharmaceutically acceptable acid addn. salts thereof with inorg. or org. acids. The invention also relates to the use of ropinirole and carbergoline for the treatment of **addiction** disorders.

ST tetrahydrobenzothiazole deriv **addiction** disorder treatment; pramipexole **addiction** disorder treatment; ropinirole carbergoline **addiction** disorder treatment

IT **Drugs of abuse**
(**abuse of**; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment)

IT Adrenal cortex
(adrenocortical suppressants; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)

IT Thyroid hormones
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(and thyroid inhibitors; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)

IT Androgens
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiandrogens; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)

IT Nausea
(antinauseants; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)

IT Anti-ischemic agents
(cerebral ischemia; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)

IT Behavior
(disorder, **addictions**; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment)

IT Appetite
(disorder; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment)

IT Appetite
(hyperphagia; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment)

IT Emotion
(mood regulators; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)

IT Cytoprotective agents
(neuroprotectants; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)
IT Agranulocytosis
(neutropenia, antineutropenics; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)
IT Mental disorder
(obsession, anti-obsessional agents; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)
IT Mental activity
(performance, enhancers; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)
IT Mental disorder
(personality disorder; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment)
IT Nervous system agents
(relaxants; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)
IT Biological transport
(serotonin reuptake inhibitors; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)
IT 5-HT antagonists
Adrenoceptor agonists
Alcoholism
Analgesics
Anti-inflammatory agents
Antidepressants
Antidiabetic agents
Antihypertensives
Antiobesity agents
Antiparkinsonian agents
Antipsychotics
Anxiolytics
Appetite depressants
Cardiotonics
Cardiovascular agents
Choleretics
Cholinergic agonists
Cognition enhancers
Drug dependence
Hypnotics and Sedatives
Nervous system stimulants
Opioid antagonists
Psychostimulants
Psychotropics
Sexual behavior
Tranquilizers
Vasoconstrictors
Vasodilators
(tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)
IT **Opioids**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)
IT Amino acids, biological studies
Corticosteroids, biological studies
Hormones, animal, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)

IT Adrenoceptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(.alpha.-, .alpha.-adrenergic agents; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)

IT **64-17-5**, Ethanol, biological studies
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(alc. abuse; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)

IT 52-39-1, Aldosterone
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(antagonists; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)

IT 9001-08-5, Cholinesterase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(deactivators; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)

IT 50-67-9, Serotonin, biological studies 9001-03-0, Carbonic anhydrase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)

IT 50-99-7, D-Glucose, biological studies
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(regulators; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)

IT 2933-29-1D, derivs.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tetrahydrobenzothiazole derivs. for **addiction** disorder treatment)

IT 50-36-2, Cocaine
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)

IT **54-11-5**, Nicotine
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)

IT 99-66-1, Valproic acid 7439-93-2, Lithium, biological studies 12794-10-4D, Benzodiazepine, derivs. 16590-41-3, Naltrexone 34911-55-2, Bupropion 76584-70-8, Divalproex sodium 77337-76-9, Acamprosate **81409-90-7**, **Cabergoline** **81409-90-7D**, **Cabergoline**, derivs. 91374-21-9, Ropinirole 91374-21-9D, Ropinirole, derivs. 96829-58-2, Orlistat 106650-56-0, Sibutramine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)

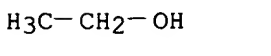
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Caine; Neuro Report, STN Accession No 1997:168007 1997, V8/910, P2373

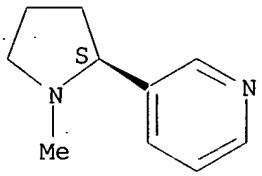
IT **64-17-5**, Ethanol, biological studies

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(alc. abuse; tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)
RN 64-17-5 HCAPLUS
CN Ethanol (9CI) (CA INDEX NAME)



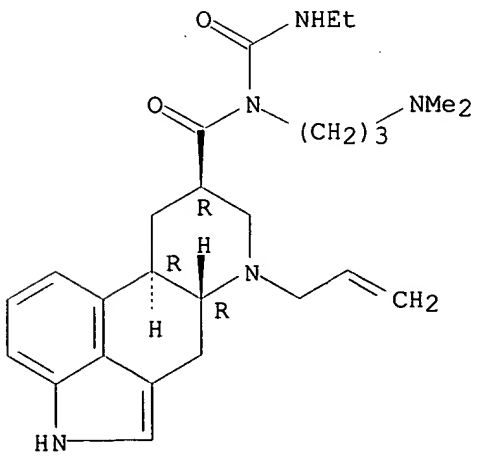
IT **54-11-5**, Nicotine
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)
RN 54-11-5 HCAPLUS
CN Pyridine, 3-[(2S)-1-methyl-2-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT **81409-90-7, Cabergoline 81409-90-7D, Cabergoline**, derivs.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tetrahydrobenzothiazole derivs. for **addiction** disorder treatment, and use with other agents)
RN 81409-90-7 HCAPLUS
CN Ergoline-8-carboxamide, N-[3-(dimethylamino)propyl]-N-[(ethylamino)carbonyl]-6-(2-propenyl)-, (8.beta.)- (9CI) (CA INDEX NAME)

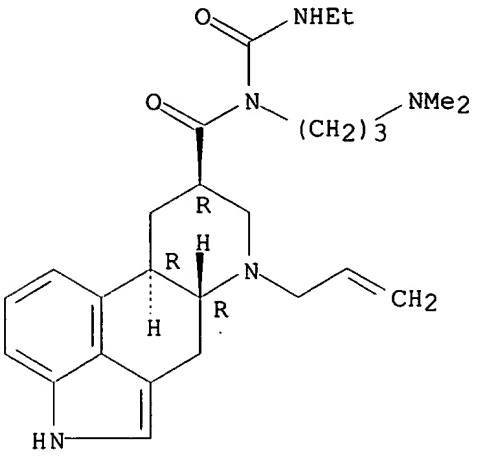
Absolute stereochemistry.



RN 81409-90-7 HCAPLUS

CN Ergoline-8-carboxamide, N-[3-(dimethylamino)propyl]-N-[(ethylamino)carbonyl]-6-(2-propenyl)-, (8.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L69 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2003 ACS on STN
AN **2000:666601** HCAPLUS
DN **133:256811**
TI Pharmaceutical compositions containing dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions
IN Garvey, David S.
PA Nitromed, Inc., USA
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-44
ICS A61K031-495; A61K031-21; A61K031-195; A61K031-16; A61K031-135; A61K031-04
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000054773	A1	20000921	WO 2000-US3709	20000310

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1999-123920P P 19990312
OS MARPAT 133:256811
AB The present invention is directed to novel compns. comprising at least one dopamine agonist in combination with at least one nitric oxide donor (i.e. compds. that donate, transfer or release nitric oxide, elevate endogenous levels of endothelium-derived relaxing factor, stimulate endogenous synthesis of nitric oxide or are substrates for nitric oxide synthase). The novel compns. may optionally comprise at least one therapeutic agent, such as, a vasoactive agent, an antiemetic agent, and mixts. thereof. The

dopamine agonist is preferably apomorphine. The present invention is also directed to methods for treating and/or preventing sexual dysfunctions and/or enhancing sexual responses in patients. In other embodiments, the present invention is directed to methods treating or preventing neurodegenerative diseases, mitochondrial diseases, spinal cord injury, central or psychostimulant **addiction**, senile dementia, circulatory disorders, cardiovascular disorders, hyperprolactinemia or myopia. The compds. and/or compns. of the present invention can also be provided in the form of a pharmaceutical kit (no data).

ST pharmaceutical dopamine agonist sexual dysfunction; nitric oxide donor pharmaceutical sexual dysfunction

IT Thiols (organic), biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(S-nitroso; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Endothelin receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(antagonists; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Drug delivery systems
(buccal; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Ion channel blockers
(calcium; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Drug delivery systems
(capsules, sustained-release; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Drug delivery systems
(capsules; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Contraceptives
(condoms; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Nervous system
(degeneration; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Cardiovascular system
(disease; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Mitochondria
(diseases; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Circulation
Sexual behavior
(disorder; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Drug delivery systems
(emulsions; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing

sexual dysfunctions)
IT Alkaloids, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ergot; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)
IT Drug delivery systems
(foams; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)
IT Drug delivery systems
(gels; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)
IT Drug delivery systems
(inhalants; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)
IT Drug delivery systems
(injections; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)
IT Drug delivery systems
(liposomes; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)
IT Drug delivery systems
(lotions; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)
IT Vision
(myopia; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)
IT Drug delivery systems
(ointments, creams; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)
IT Drug delivery systems
(ointments; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)
IT Drug delivery systems
(oral; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)
IT 5-HT antagonists
Antiemetics
Antihistamines
Cholinergic antagonists
Dopamine agonists
Dopamine antagonists
Opioid antagonists
Psychostimulants
Vasoconstrictors
(pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)
IT Peptides, biological studies
Prostaglandins
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(Uses)
(pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Ion channel openers
(potassium; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Mental disorder
(senile psychosis; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Drug delivery systems
(solids; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Drug delivery systems
(sprays; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Drug delivery systems
(sublingual; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Drug delivery systems
(tablets; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Drug delivery systems
(tapes, sustained-release; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Drug delivery systems
(topical; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Drug delivery systems
(transdermal; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Adrenoceptor antagonists
(.alpha.-; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT Adrenoceptor antagonists
(.beta.-; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT 9002-62-4, Prolactin, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(hyperprolactinemia; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT 9040-59-9, 3',5' Cyclic nucleotide phosphodiesterase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT 10102-43-9, Nitric oxide, biological studies 90880-94-7, Endothelium-derived relaxing factor
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); FMU (Formation, unclassified); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); USES (Uses)

(pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

IT 50-60-2, Phentolamine 50-67-9, Serotonine, biological studies 51-61-6, Dopamine, biological studies 51-64-9 52-86-8, Haloperidol 54-32-0, Moxisylyte 56-85-9, Glutamine, biological studies 56-87-1, Lysine, biological studies 58-00-4, Apomorphine. 58-61-7, Adenosine, biological studies 58-74-2, Papaverine 59-92-7, biological studies 65-28-1, Phentolamine mesylate 70-26-8, Ornithine 73-05-2, Phentolamine hydrochloride 74-79-3, L Arginine, biological studies 74-79-3D, L-Arginine, nitrosated and nitrosylated, biological studies 113-69-9, Benzquinamide hydrochloride 138-56-7, Trimethobenzamide 146-48-5, Yohimbine 156-86-5, L Homoarginine 314-19-2, Apomorphine hydrochloride 322-35-0, Benserazide 364-62-5, Metoclopramide 372-75-8, Citrulline 458-24-2, Fenfluramine 511-12-6, Dihydroergotamine 519-10-8, Lysergin 768-94-5, Amantadine 1199-85-5, p-Chloromethylamphetamine 1744-22-5, Riluzole 3254-89-5, Diphenidol hydrochloride 3605-01-4, Piribedil 4774-53-2, Botiacrine 5786-21-0, Clozapine 7424-00-2, p-Chlorophenylalanine 14008-44-7, Metopimazine 15676-16-1, Sulpiride 16378-21-5, Piroheptine 17479-19-5, Dihydroergocristine 17692-51-2, Metergoline 18016-80-3, Lisuride 18426-20-5, N-n-Propyl norapomorphine 19216-56-9, Prazosin 19794-93-5, Trazodone 22232-71-9, Mazindol 25447-66-9, Dihydroergocryptine 25614-03-3, Bromocriptine 32359-34-5, Medifoxamine 34911-55-2, Bupropion 36945-03-6, Lergotrile 37221-79-7, Vasoactive intestinal peptide 37686-84-3, Terguride 37762-06-4, Zaprinast 42599-90-6D, nitrosated and nitrosylated 56577-02-7, S-Nitroso-n-acetylcysteine 57564-91-7, S-Nitroso glutathione 57574-09-1, Amineptine 57808-66-9, Domperidone 57935-49-6, Tiomergine 63590-64-7, Terazosin 64795-35-3, Mesulergine 66104-22-1, Pergolide 66195-31-1, Ibopamine 66759-48-6, Desocriptine 67227-56-9, Fenoldopam 67287-49-4, Skf 38393 71636-61-8, Skf 81297 71800-28-7, Propylbutyldopamine 74191-85-8, Doxazosin 74639-40-0, Docarpamine 79032-48-7, S-Nitroso-N-acetylpenicillamine 80373-22-4, Quinpirole **81409-90-7**, **Cabergoline** 84226-12-0, Eticlopride 86197-47-9, Dopexamine 87056-78-8, Quinagolide 88058-88-2, Naxagolide 89419-40-9, Mosapramine 91374-21-9, Ropinirole 98323-83-2, Carmoxirole 101626-70-4, Talipexole 104632-26-0, Pramipexole 112885-41-3, Mosapride 122130-63-6, S-Nitroso captopril 125978-95-2, Nitric oxide synthase 139427-42-2, S-Nitrosohomocysteine 139755-83-2, Sildenafil 171596-29-5, Ic 351
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

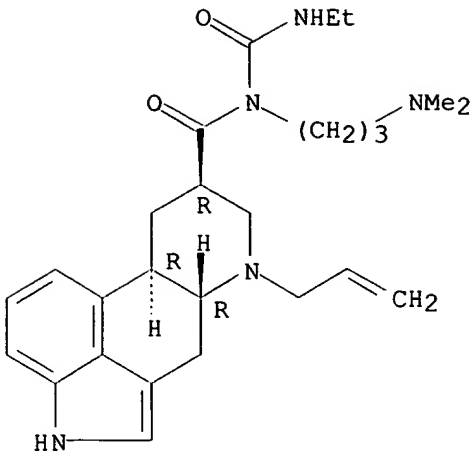
RE

(1) Cooke; US 5891459 A 1999 HCAPLUS
(2) El-Rashid, Y; US 5770606 A 1998 HCAPLUS
(3) Schoenleber; US 4963568 A 1990 HCAPLUS
(4) The United States Of America; WO 9632118 A 1996 HCAPLUS

IT **81409-90-7, Cabergoline**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. contg. dopamine agonists in combination with nitric oxide donors for treating and/or preventing sexual dysfunctions)

RN 81409-90-7 HCAPLUS
CN Ergoline-8-carboxamide, N-[3-(dimethylamino)propyl]-N-[(ethylamino)carbonyl]-6-(2-propenyl)-, (8.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




```
=> fil uspatall
FILE 'USPATFULL' ENTERED AT 10:07:45 ON 28 JUL 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 10:07:45 ON 28 JUL 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

=> d l85 tot bib abs kwic hitstr

L85  ANSWER 1 OF 8  USPATFULL on STN
AN      2003:113532  USPATFULL
TI      Compounds for the treatment of addictive disorders
IN      Anderson, Richard W., Annandale, NJ, UNITED STATES
        McBrinn, Sylvia S., Stockton, NJ, UNITED STATES
        Robertson, David W., Galesburg, MI, UNITED STATES
        Marshall, Robert C., Mattawan, MI, UNITED STATES
PI      US 2003078273       A1   20030424
AI      US 2002-295331      A1   20021115 (10)
RLI     Division of Ser. No. US 2001-929666, filed on 14 Aug 2001, PENDING
PRAI    US 2001-263610P     20010123 (60)
        US 2000-225714P     20000816 (60)                                <--
DT      Utility
FS      APPLICATION
LREP    MARSHALL, GERSTEIN & BORUN, 6300 SEARS TOWER, 233 SOUTH WACKER, CHICAGO,
        IL, 60606-6357
CLMN    Number of Claims: 25
ECL     Exemplary Claim: 1
DRWN    No Drawings
LN.CNT 831
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB      The treatment of addictive disorders, psychoactive substance
        use disorders, intoxication disorders, inhalation disorders, alcohol
        addiction, tobacco addiction, and nicotine
        addiction using a heterocyclic amine, a phenylazacycloalkane, a
        cabergoline, or an aromatic bicyclic amine active agent, or a
        pharmaceutically acceptable derivative or salt of any said active agent
        is described herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
TI      Compounds for the treatment of addictive disorders
PRAI    US 2000-225714P     20000816 (60)                                <--
AB      The treatment of addictive disorders, psychoactive substance
```

use disorders, intoxication disorders, inhalation disorders, alcohol **addiction**, tobacco **addiction**, and nicotine **addiction** using a heterocyclic amine, a phenylazacycloalkane, a cabergoline, or an aromatic bicyclic amine active agent, or a pharmaceutically acceptable derivative. . .

SUMM . . . improving symptoms of, several nervous system disorders. More particularly, the invention relates to treatment and improvement of symptoms related to **addictive** disorders, psychoactive substance use disorders, nicotine **addiction**, and tobacco **addiction**.

SUMM . . . whether these compounds having useful properties for treating neuromuscular disorders can be used for treating other nervous system disorders, particularly **addictive** diseases. More particularly, the use of these compounds for nervous systems disorders, for example, **addictive** disorders, psychoactive substance use disorders, nicotine **addiction**, or tobacco **addiction** resulting in smoking cessation, have been considered.

SUMM . . . compounds, aromatic bicyclic amine compounds have also been investigated for potential activity useful for treating nervous system disorders, such as **addictive** diseases. The aromatic bicyclic amine compounds have been reported to demonstrate activity useful for treatment of some central nervous system. . .

SUMM [0010] Methods for using the described compounds for treating **addictive**-type nervous disorders has not been reported. Methods and dosages for using heterocyclic amine compounds, phenylazacycloalkane compounds, cabergoline, aromatic bicyclic amine compounds and the derivatives of these classes of compounds for treating specific **addictive** disorders are described herein.

SUMM [0011] The invention provides a method for the treatment of certain **addictive** disorders, for example, psychoactive substance use disorders, nicotine **addiction** or tobacco **addiction** (with a result of smoking cessation or a decrease in smoking). The method includes the step of administering a therapeutically. . . amine compound, or a pharmaceutically acceptable salt or derivative thereof, to a patient suffering from or susceptible to such an **addiction** or disorder.

DETD . . . can be used to treat and ameliorate nervous system disorders. The disorders typically can include, but are not limited to, **addictive** disorders, psychoactive substance use disorders, nicotine addition, tobacco **addiction**, and other diseases or disorders related to affliction of the nervous system, and more particularly, the central nervous system.

DETD . . . classes of compounds can be used for treating or suppressing the symptoms of conditions related to nervous system affliction, particularly **addictive** disorders. Examples of at least the following classes of compounds are provided for the method of the invention.

DETD [0122] For treating the **addictive** disorders described herein the drug may also be provided in chewable format, such as a chewing gum. The amount of. . .

DETD [0127] **Addictive** disorders and psychoactive substance use disorders, such as intoxication disorders, inhalation disorders, alcohol **addiction**, tobacco **addiction** and/or nicotine **addiction** can be treated according to the invention. Tobacco and nicotine **addiction** would be treated with the goal of achieving either smoking cessation or at least a reduction in the intake of tobacco and/or nicotine. General descriptions of **addictive** disorders, including disorders related to intoxication, inhalants, and tobacco **addiction** or nicotine **addiction** can be found in many standard sources. The **addictions** and behaviors that can be treated by the invention generally are further described in, for example, The American Psychiatric Press. . .

DETD . . . other psychoactive substance use disorders such as, for

example, disorders related to intoxication or inhalants, more particularly tobacco or nicotine **addiction**. The effect of the invention on tobacco **addiction** more particularly involves the administration of the active agent in a manner and form that reduces the symptoms of the. . .

CLM What is claimed is:

1. A method of treating or suppressing the symptoms of at least one disorder selected from **addictive** disorders, psychoactive substance use disorders, intoxication disorders, inhalation disorders, alcohol **addiction**, tobacco **addiction**, and nicotine **addiction**, said method comprising the step of administering a therapeutically effective, nontoxic amount of an active agent selected from the group. . .

. . . method of claim 1 wherein the active agent is used to treat or enhance the treatment of tobacco and/or nicotine **addiction**.

IT **Drug dependence**

IT **Tobacco smoke**

(compds. for the treatment of addictive disorders)

IT **54-11-5**, Nicotine **64-17-5**, Ethanol, biological studies

(compds. for the treatment of addictive disorders)

IT 81409-90-7, Cabergoline 156907-84-5 170858-36-3 170858-41-0 173590-06-2 **179386-43-7 282522-93-4** **282522-94-5** 369595-93-7 400716-28-1 400716-30-5 400716-32-7

(compds. for the treatment of addictive disorders)

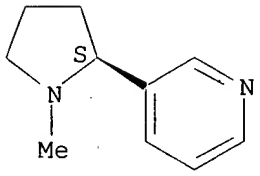
IT **54-11-5**, Nicotine **64-17-5**, Ethanol, biological studies

(compds. for the treatment of addictive disorders)

RN 54-11-5 USPATFULL

CN Pyridine, 3-[(2S)-1-methyl-2-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 64-17-5 USPATFULL

CN Ethanol (9CI) (CA INDEX NAME)

H_3C-CH_2-OH

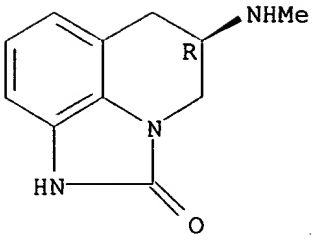
IT **179386-43-7 282522-93-4 282522-94-5**

(compds. for the treatment of addictive disorders)

RN 179386-43-7 USPATFULL

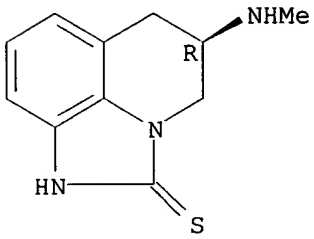
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 282522-93-4 USPATFULL
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

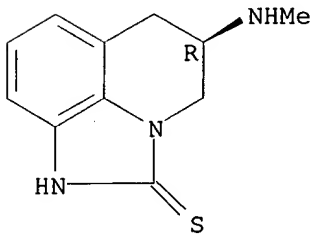


RN 282522-94-5 USPATFULL
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

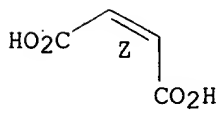
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

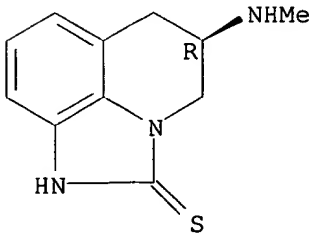
Double bond geometry as shown.



L85 ANSWER 2 OF 8 USPATFULL on STN
AN 2002:259436 USPATFULL
TI Compounds for treating fibromyalgia and chronic fatigue syndrome
IN McCall, Robert B., Kalamazoo, MI, UNITED STATES
Marshall, Robert Clyde, Mattawan, MI, UNITED STATES
Robertson, David W., Galesburg, MI, UNITED STATES
Ashley, Thomas M., Portage, MI, UNITED STATES
PI US 2002143010 A1 20021003
US 6555548 B2 20030429
AI US 2002-159913 A1 20020530 (10)
RLI Division of Ser. No. US 2001-836660, filed on 17 Apr 2001, PENDING
PRAI US 2000-198959P 20000421 (60) <--
US 2000-200569P 20000428 (60) <--
DT Utility
FS APPLICATION
LREP Pharmacia & Upjohn Company, Global Intellectual Property, 301 Henrietta Street, Kalamazoo, MI, 49001
CLMN Number of Claims: 30
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 763
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides for methods for the treatment of fibromyalgia syndrome or chronic fatigue syndrome by the administration of heterocyclic amine-type compounds, substituted phenylazacycloalkane-type compounds, or cabergoline-type compounds, or a salt of any said compound.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PRAI US 2000-198959P 20000421 (60) <--
PRAI US 2000-200569P 20000428 (60) <--
SUMM . . . used medications produce side effects ranging from mild side effects, e.g., drowsiness, dizziness, and nausea to serious side effects, e.g., **addiction** and liver damage
IT **282522-93-4P**, (5R)-5-(Methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione **282522-94-5P**
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)
IT 81409-90-7, Cabergoline 156907-84-5 173590-06-2 **179386-43-7** **179386-44-8** 369595-93-7
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)
IT **282522-93-4P**, (5R)-5-(Methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione **282522-94-5P**
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)
RN 282522-93-4 USPATFULL
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

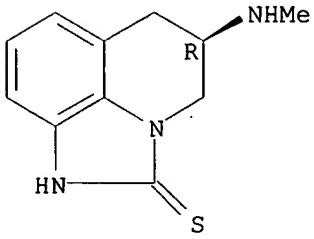


RN 282522-94-5 USPATFULL
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

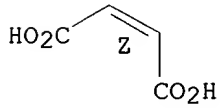
Absolute stereochemistry.



CM 2

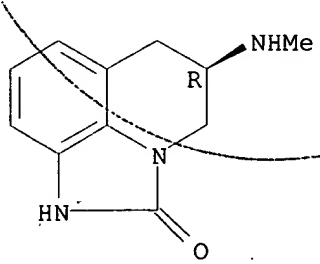
CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



IT **179386-43-7 179386-44-8**
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)
RN 179386-43-7 USPATFULL
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

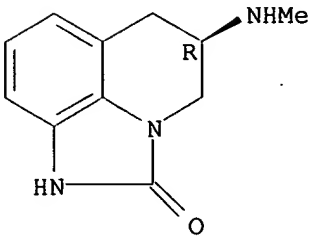


RN 179386-44-8 USPATFULL
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 179386-43-7
CMF C11 H13 N3 O

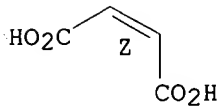
Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



L85 ANSWER 3 OF 8 USPATFULL on STN
AN 2002:99494 USPATFULL
TI Diphenyl ether compounds useful in therapy
IN Andrews, Mark David, Kent, UNITED KINGDOM
Hepworth, David, Kent, UNITED KINGDOM
Middleton, Donald Stuart, Kent, UNITED KINGDOM
Stobie, Alan, Kent, UNITED KINGDOM
PI US 2002052395 A1 20020502
US 6448293 B2 20020910
AI US 2001-810378 A1 20010316 (9)
PRAI GB 2000-7884 20000331 <--
US 2000-197127P 20000414 (60) <--
DT Utility
FS APPLICATION
LREP Paul H. Ginsburg, Pfizer Inc., 20th Floor, 235 East 42nd Street, New York, NY, 10017-5755
CLMN Number of Claims: 29
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 4655
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A compound of general formula (I), or pharmaceutically acceptable salts, solvates or polymorphs thereof; wherein; R.sup.1 and R.sup.2, which may be the same or different, are hydrogen, C.sub.1-C.sub.6alkyl, (CH.sub.2)m(C.sub.3-C.sub.6cycloalkyl) wherein m =0, 1, 2 or 3, or R.sup.1 and R.sup.2 together with the nitrogen to which they are attached form an azetidine ring; each R.sup.3 is independently CF.sub.3, OCF.sub.3, C.sub.1-4alkylthio or C.sub.1-C.sub.4alkoxy; n is 1, 2 or 3; and R.sup.4 and R.sup.5, which may be the same or different, are: A-X, wherein A =--CH=CH-- or --(CH.sub.2)p-- where p is 0, 1 or 2; X is hydrogen, F, Cl, Br, I, CONR.sup.6R.sup.7,SO.sub.2NR.sup.6R.sup.7, SO.sub.2NHC(=O)R.sup.6, OH, C.sub.1-4alkoxy, NR.sup.8SO.sub.2R.sup.9, NO.sub.2, NR.sup.6R.sup.11, CN, CO.sub.2R.sup.10, CHO, SR.sup.10, S(O)R.sup.9 or SO.sub.2R.sup.10 R.sup.6, R.sup.7, R and R.sup.10 which

may be the same or different, are hydrogen or C.sub.1-6alkyl optionally substituted independently by one or more R.sup.12; R.sup.9 is C.sub.1-6 alkyl optionally substituted independently by one or more R.sup.12; R.sup.11 is hydrogen, C.sub.1-6 alkyl optionally substituted independently by one or more R.sup.12, C(O)R.sup.6, CO.sub.2R.sup.9, C(O)NHR.sup.6 or SO.sub.2NR.sup.6R.sup.7; R.sup.12 is F, OH, CO.sub.2H, C.sub.3-6cycloalkyl, NH.sub.2, CONH.sub.2, C.sub.1-6alkoxy, C.sub.1-6alkoxycarbonyl or a 5- or 6-membered heterocyclic ring containing 1, 2 or 3 heteroatoms selected from N, S and O optionally substituted independently by one or more R.sup.13; or R.sup.6 and R.sup.7, together with the nitrogen to which they are attached, form a 4-, 5- or 6-membered heterocyclic ring optionally substituted independently by one or more R.sup.13; or a 5- or 6-membered heterocyclic ring containing 1, 2 or 3 heteroatoms selected from N, S and O, optionally substituted independently by one or more R.sup.13; wherein R.sup.13 is hydroxy, C.sub.1-C.sub.4alkoxy, F, C.sub.1-C.sub.6alkyl, haloalkyl, haloalkoxy, --NH.sub.2, --NH(C.sub.1-C.sub.6alkyl) or --N(C.sub.1-C.sub.6alkyl).sub.2; wherein when R.sup.1 and R.sup.2 are methyl, R.sup.4 and R.sup.5 are hydrogen and n is 1, R.sup.3is not a --SMe group para to the ether linkage linking rings A and B. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PRAI GB 2000-7884 20000331 <--
PRAI US 2000-197127P 20000414 (60) <--
SUMM . . . posttraumatic stress syndrome, avoidant personality disorder, premature ejaculation, eating disorders (e.g. anorexia nervosa and bulimia nervosa), obesity, chemical dependencies (e.g. **addictions** to alcohol, cocaine, heroin, phenobarbital, nicotine and benzodiazepines), cluster headache, migraine, pain, Alzheimers disease, obsessive-compulsive disorder, panic disorder, memory disorders. . .
SUMM [0139] Dopamine D2 agonists (e.g. Premiprixal, Pharmacia Upjohn compound number **PNU95666**);
IT **Drugs of abuse**
(abuse of, treatment; prepn. of di-Ph ether compds. as serotonin re-uptake inhibitors)

L85 ANSWER 4 OF 8 USPATFULL on STN
AN 2002:92682 USPATFULL
TI Compounds for the treatment of **addictive** disorders
IN Anderson, Richard W., Annandale, NJ, UNITED STATES
McBrinn, Sylvia S., Stockton, NJ, UNITED STATES
Robertson, David W., Galesburg, MI, UNITED STATES
Marshall, Robert C., Mattawan, MI, UNITED STATES
PI US 2002049206 A1 20020425
AI US 2001-929666 A1 20010814 (9)
PRAI US 2001-263610P 20010123 (60)
US 2000-225714P 20000816 (60) <--
DT Utility
FS APPLICATION
LREP MARSHALL, O'TOOLE, GERSTEIN, MURRAY & BORUN, 6300 SEARS TOWER, 233 SOUTH WACKER DRIVE, CHICAGO, IL, 60606-6402
CLMN Number of Claims: 25
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 830
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The treatment of **addictive** disorders, psychoactive substance use disorders, intoxication disorders, inhalation disorders, alcohol **addiction**, tobacco **addiction**, and nicotine **addiction** using a heterocyclic amine, a phenylazacycloalkane, a cabergoline, or an aromatic bicyclic amine active agent, or a

pharmaceutically acceptable derivative or salt of any said active agent is described herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

TI Compounds for the treatment of **addictive** disorders

PRAI US 2000-225714P 20000816 (60) <--

AB The treatment of **addictive** disorders, psychoactive substance use disorders, intoxication disorders, inhalation disorders, alcohol **addiction**, tobacco **addiction**, and nicotine **addiction** using a heterocyclic amine, a phenylazacycloalkane, a cabergoline, or an aromatic bicyclic amine active agent, or a pharmaceutically acceptable derivative. . .

SUMM . . . improving symptoms of, several nervous system disorders. More particularly, the invention relates to treatment and improvement of symptoms related to **addictive** disorders, psychoactive substance use disorders, nicotine **addiction**, and tobacco **addiction**.

SUMM . . . whether these compounds having useful properties for treating neuromuscular disorders can be used for treating other nervous system disorders, particularly **addictive** diseases. More particularly, the use of these compounds for nervous systems disorders, for example, **addictive** disorders, psychoactive substance use disorders, nicotine **addiction**, or tobacco **addiction** resulting in smoking cessation, have been considered.

SUMM . . . compounds, aromatic bicyclic amine compounds have also been investigated for potential activity useful for treating nervous system disorders, such as **addictive** diseases. The aromatic bicyclic amine compounds have been reported to demonstrate activity useful for treatment of some central nervous system. . .

SUMM [0010] Methods for using the described compounds for treating **addictive**-type nervous disorders has not been reported. Methods and dosages for using heterocyclic amine compounds, phenylazacycloalkane compounds, cabergoline, aromatic bicyclic amine compounds and the derivatives of these classes of compounds for treating specific **addictive** disorders are described herein.

SUMM [0011] The invention provides a method for the treatment of certain **addictive** disorders, for example, psychoactive substance use disorders, nicotine **addiction** or tobacco **addiction** (with a result of smoking cessation or a decrease in smoking). The method includes the step of administering a therapeutically. . . amine compound, or a pharmaceutically acceptable salt or derivative thereof, to a patient suffering from or susceptible to such an **addiction** or disorder.

DETD . . . can be used to treat and ameliorate nervous system disorders. The disorders typically can include, but are not limited to, **addictive** disorders, psychoactive substance use disorders, nicotine addition, tobacco **addiction**, and other diseases or disorders related to affliction of the nervous system, and more particularly, the central nervous system.

DETD . . . classes of compounds can be used for treating or suppressing the symptoms of conditions related to nervous system affliction, particularly **addictive** disorders. Examples of at least the following classes of compounds are provided for the method of the invention.

DETD [0118] For treating the **addictive** disorders described herein the drug may also be provided in chewable format, such as a chewing gum. The amount of. . .

DETD [0123] **Addictive** disorders and psychoactive substance use disorders, such as intoxication disorders, inhalation disorders, alcohol **addiction**, tobacco **addiction** and/or nicotine **addiction** can be treated according to the invention. Tobacco and nicotine **addiction** would be treated with the goal of achieving either smoking cessation or at least a reduction in the intake of

tobacco and/or nicotine. General descriptions of **addictive** disorders, including disorders related to intoxication, inhalants, and tobacco **addiction** or nicotine **addiction** can be found in many standard sources. The **addictions** and behaviors that can be treated by the invention generally are further described in, for example, The American Psychiatric Press. . .

DETD . . . other psychoactive substance use disorders such as, for example, disorders related to intoxication or inhalants, more particularly tobacco or nicotine **addiction**. The effect of the invention on tobacco **addiction** more particularly involves the administration of the active agent in a manner and form that reduces the symptoms of the. . .

CLM What is claimed is:

1. A method of treating or suppressing the symptoms of at least one disorder selected from **addictive** disorders, psychoactive substance use disorders, intoxication disorders, inhalation disorders, alcohol **addiction**, tobacco **addiction**, and nicotine **addiction**, said method comprising the step of administering a therapeutically effective, nontoxic amount of an active agent selected from the group. . .

. . . method of claim 1 wherein the active agent is used to treat or enhance the treatment of tobacco and/or nicotine **addiction**.

IT **Drug dependence**

IT **Tobacco smoke**

(compds. for the treatment of addictive disorders)

IT **54-11-5**, Nicotine **64-17-5**, Ethanol, biological studies

(compds. for the treatment of addictive disorders)

IT 81409-90-7, Cabergoline 156907-84-5 170858-36-3 170858-41-0 173590-06-2 **179386-43-7 282522-93-4** **282522-94-5** 369595-93-7 400716-28-1 400716-30-5 400716-32-7

(compds. for the treatment of addictive disorders)

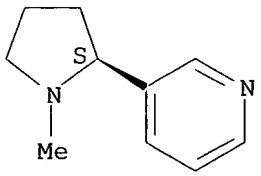
IT **54-11-5**, Nicotine **64-17-5**, Ethanol, biological studies

(compds. for the treatment of addictive disorders)

RN 54-11-5 USPATFULL

CN Pyridine, 3-[(2S)-1-methyl-2-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 64-17-5 USPATFULL

CN Ethanol (9CI) (CA INDEX NAME)

H_3C-CH_2-OH

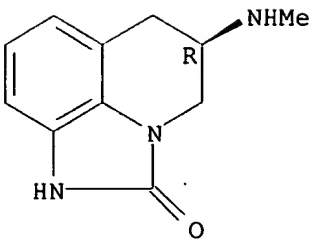
IT **179386-43-7 282522-93-4 282522-94-5**

(compds. for the treatment of addictive disorders)

RN 179386-43-7 USPATFULL

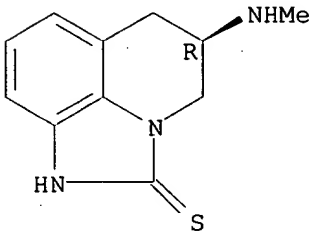
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 282522-93-4 USPATFULL
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

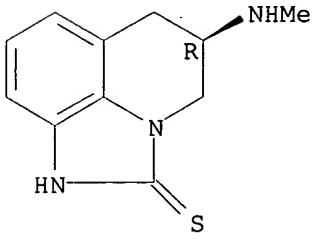


RN 282522-94-5 USPATFULL
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

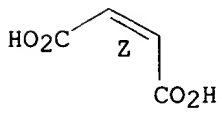
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

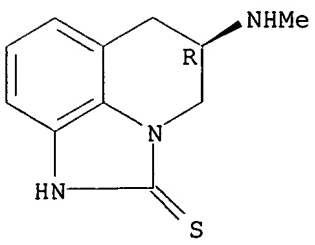
Double bond geometry as shown.



L85 ANSWER 5 OF 8 USPATFULL on STN
AN 2002:8510 USPATFULL
TI Compounds for treating fibromyalgia and chronic fatigue syndrome
IN McCall, Robert B., Kalamazoo, MI, UNITED STATES
Marshall, Robert Clyde, Mattawan, MI, UNITED STATES
Robertson, David W., Galesburg, MI, UNITED STATES
Ashley, Thomas M., Portage, MI, UNITED STATES
PI US 2002004510 A1 20020110
US 6448258 B2 20020910
AI US 2001-836660 A1 20010417 (9)
PRAI US 2000-198959P 20000421 (60) <--
US 2000-200569P 20000428 (60) <--
DT Utility
FS APPLICATION
LREP Austin W. Zhang, Pharmacia & Upjohn Company, Global Intellectual Property, 301 Henrietta Street, Kalamazoo, MI, 49001
CLMN Number of Claims: 30
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 766
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides for methods for the treatment of fibromyalgia syndrome or chronic fatigue syndrome by the administration of heterocyclic amine-type compounds, substituted phenylazacycloalkane-type compounds, or cabergoline-type compounds, or a salt of any said compound.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PRAI US 2000-198959P 20000421 (60) <--
PRAI US 2000-200569P 20000428 (60) <--
SUMM . . . used medications produce side effects ranging from mild side effects, e.g., drowsiness, dizziness, and nausea to serious side effects, e.g., **addiction** and liver damage.
IT **282522-93-4P**, (5R)-5-(Methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione **282522-94-5P**
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)
IT 81409-90-7, Cabergoline 156907-84-5 173590-06-2 **179386-43-7** **179386-44-8** 369595-93-7
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)
IT **282522-93-4P**, (5R)-5-(Methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione **282522-94-5P**
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)
RN 282522-93-4 USPATFULL
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



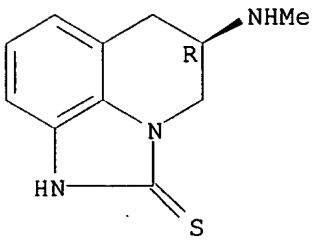
RN 282522-94-5 USPATFULL
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-,

(5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

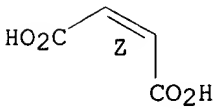
Absolute stereochemistry.



CM 2

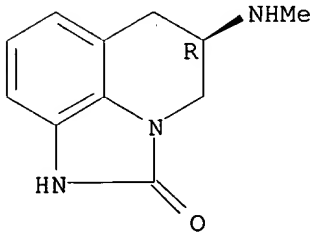
CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



IT **179386-43-7 179386-44-8**
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)
RN 179386-43-7 USPATFULL
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

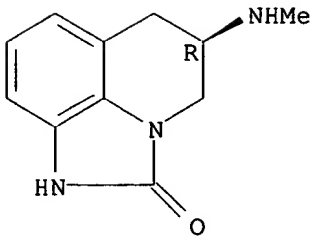


RN 179386-44-8 USPATFULL
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 179386-43-7
CMF C11 H13 N3 O

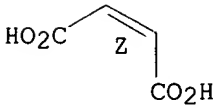
Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-16-7
CMF C4 H4 O4
CDES 2:Z

Double bond geometry as shown.



L85 ANSWER 6 OF 8 USPAT2 on STN
AN 2002:259436 USPAT2
TI Compounds for treating fibromyalgia and chronic fatigue syndrome
IN McCall, Robert B., Kalamazoo, MI, United States
Marshall, Robert Clyde, Mattawan, MI, United States
Robertson, David W., Galesburg, MI, United States
Ashley, Thomas M., Portage, MI, United States
PA Pharmacia & Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)
PI US 6555548 B2 20030429
AI US 2002-159913 20020530 (10)
RLI Division of Ser. No. US 2001-836660, filed on 17 Apr 2001, now patented, Pat. No. US 6448258
PRAI US 2000-198959P 20000421 (60) <--
US 2000-200569P 20000428 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Spivack, Phyllis G.
LREP Zhang, Austin W.
CLMN Number of Claims: 4
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 635
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides for methods for the treatment of fibromyalgia syndrome or chronic fatigue syndrome by the administration of cabergoline-type compounds or a salt of said compound.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PRAI US 2000-198959P 20000421 (60) <--
PRAI US 2000-200569P 20000428 (60) <--
SUMM . . . used medications produce side effects ranging from mild side effects, e.g., drowsiness, dizziness, and nausea to serious side effects, e.g., **addiction** and liver damage
IT **282522-93-4P**, (5R)-5-(Methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione **282522-94-5P**

(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)
IT 81409-90-7, Cabergoline 156907-84-5 173590-06-2 **179386-43-7** **179386-44-8** 369595-93-7
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)
IT **282522-93-4P**, (5R)-5-(Methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione **282522-94-5P**
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)

=> d l85 bib abs kwic hitrn 7 8

L85 ANSWER 7 OF 8 USPAT2 on STN
AN 2002:99494 USPAT2
TI Diphenyl ether compounds useful in therapy
IN Andrews, Mark David, Kent, UNITED KINGDOM
Hepworth, David, Kent, UNITED KINGDOM
Middleton, Donald Stuart, Kent, UNITED KINGDOM
Stobie, Alan, Kent, UNITED KINGDOM
PA Pfizer Inc., New York, NY, United States (U.S. corporation)
PI US 6448293 B2 20020910
AI US 2001-810378 20010316 (9)
PRAI GB 2000-7884 20000331 <--
US 2000-197127P 20000414 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Gerstl, Robert
LREP Richardson, Peter C., Ginsburg, Paul H., Appleman, Jolene W.
CLMN Number of Claims: 28
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 4240
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A compound of general formula (I), or pharmaceutically acceptable salts, solvates or polymorphs thereof; wherein; R.sup.1 and R.sup.2, which may be the same or different, are hydrogen, C.sub.1-C.sub.6alkyl, (CH.sub.2).sub.m (C.sub.3-C.sub.6cycloalkyl) wherein m =0, 1, 2 or 3, or R.sup.1 and R.sup.2 together with the nitrogen to which they are attached form an azetidine ring; each R.sup.3 is independently CF.sub.3, OCF.sub.3, C.sub.1-4alkylthio or C.sub.1-C.sub.4alkoxy; n is 1, 2 or 3; and R.sup.4 and R.sup.5, which may be the same or different, are: A--X, wherein A =--CH=CH-- or --(CH.sub.2)p-- where p is 0, 1 or 2; X is hydrogen, F, Cl, Br, I, CONR.sup.6R.sup.7, SO.sub.2NR.sup.6R.sup.7, SO.sub.2NHC(=O)R.sup.6, OH, C.sub.1-4alkoxy, NR.sup.8SO.sub.2R.sup.9, NO.sub.2, NR.sup.6R.sup.11, CN, CO.sub.2R.sup.10, CHO, SR.sup.10, S(O)R.sup.9 or SO.sub.2R.sup.10; R.sup.6, R.sup.7, R.sup.8 and R.sup.10 which may be the same or different, are hydrogen or C.sub.1-6alkyl optionally substituted independently by one or more R.sup.12; R.sup.9 is C.sub.1-6 alkyl optionally substituted independently by one or more R.sup.12; R.sup.11 is hydrogen, C.sub.1-6 alkyl optionally substituted independently by one or more R.sup.12, C(O)R.sup.6, CO.sub.2R.sup.9, C(O)NHR.sup.6 or SO.sub.2NR.sup.6R.sup.7; R.sup.12 is F, OH, CO.sub.2H, C.sub.3-6cycloalkyl, NH.sub.2, CONH.sub.2, C.sub.1-6alkoxy, C.sub.1-6alkoxycarbonyl or a 5- or 6-membered heterocyclic ring containing 1, 2 or 3 heteroatoms selected from N, S and O optionally substituted independently by one or more R.sup.13; or R.sup.6 and R.sup.7, together with the nitrogen to which they are attached, form a 4-, 5- or 6-membered heterocyclic ring optionally substituted independently by one or more R.sup.13; or a 5- or 6-membered

heterocyclic ring containing 1, 2 or 3 heteroatoms selected from N, S and O, optionally substituted independently by one or more R.sup.13; wherein R.sup.13 is hydroxy, C.sub.1-C.sub.4alkoxy, F, C.sub.1-C.sub.6alkyl, haloalkyl, haloalkoxy, --NH.sub.2, --NH(C.sub.1-C.sub.6alkyl) or --N(C.sub.1-C.sub.6alkyl).sub.2; wherein when R.sup.1 and R.sup.2 are methyl, R.sup.4 and R.sup.5 are hydrogen and n is 1, R.sup.3is not a --SMe group para to the ether linkage linking rings A and B. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PRAI GB 2000-7884 20000331 <--
PRAI US 2000-197127P 20000414 (60) <--
SUMM . . . posttraumatic stress syndrome, avoidant personality disorder, premature ejaculation, eating disorders (e.g. anorexia nervosa and bulimia nervosa), obesity, chemical dependencies (e.g. **addictions** to alcohol, cocaine, heroin, phenobarbital, nicotine and benzodiazepines), cluster headache, migraine, pain, Alzheimers disease, obsessive-compulsive disorder, panic disorder, memory disorders. . .
SUMM Dopamine D2 agonists (e.g. Premiprixal, Pharmacia Upjohn compound number **PNU95666**);
IT **Drugs of abuse**
(abuse of, treatment; prepn. of di-Ph ether compds. as serotonin re-uptake inhibitors)

L85 ANSWER 8 OF 8 USPAT2 on STN
AN 2002:8510 USPAT2
TI Treating fibromyalgia and chronic fatigue syndrome
IN McCall, Robert B., Kalamazoo, MI, United States
Marshall, Robert Clyde, Mattawan, MI, United States
Robertson, David W., Galesburg, MI, United States
Ashley, Thomas M., Portage, MI, United States
PA Pharmacia & Upjohn Company, Kalamazoo, MI, United States (U.S. corporation)
PI US 6448258 B2 20020910
AI US 2001-836660 20010417 (9)
PRAI US 2000-198959P 20000421 (60) <--
US 2000-200569P 20000428 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Spivack, Phyllis G.
LREP Zhang, Austin W.
CLMN Number of Claims: 14
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 682
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides for methods for the treatment of fibromyalgia syndrome or chronic fatigue syndrome by the administration of heterocyclic amine-type compounds or a salt of any said compound.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PRAI US 2000-198959P 20000421 (60) <--
PRAI US 2000-200569P 20000428 (60) <--
SUMM . . . used medications produce side effects ranging from mild side effects, e.g., drowsiness, dizziness, and nausea to serious side effects, e.g., **addiction** and liver damage.
IT **282522-93-4P**, (5R)-5-(Methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione **282522-94-5P**
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)
IT 81409-90-7, Cabergoline 156907-84-5 173590-06-2 **179386-43-7** **179386-44-8** 369595-93-7

(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)
IT **282522-93-4P**, (5R)-5-(Methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione **282522-94-5P**
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)
IT **179386-43-7 179386-44-8**
(prepn. of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)

=> d his

(FILE 'HOME' ENTERED AT 09:13:29 ON 28 JUL 2003)
SET COST OFF

FILE 'HCAPLUS' ENTERED AT 09:13:44 ON 28 JUL 2003
L1 1 S US20020049206/PN
SEL RN

FILE 'REGISTRY' ENTERED AT 09:14:01 ON 28 JUL 2003
L2 14 S E1-E14
L3 3 S L2 AND NCNC2-NC5-C6/ES
L4 38 S (179386-43-7 OR 282522-93-4)/CRN
L5 1 S MALEIC ACID/CN
L6 1 S 2-BUTENEDIOIC ACID/CN
L7 2 S C4H4O4 AND L4
L8 4 S L3,L7

FILE 'HCAPLUS' ENTERED AT 09:23:46 ON 28 JUL 2003
L9 26 S L8
L10 17 S (PNU OR U)()(95666 OR 95666E OR 95()(666 OR 666E OR 666 "E"))
L11 30 S L9,L10
L12 1 S L11 AND ADDICT?
E DRUG DEPENDENCE/CT
L13 8194 S E3,E4
E E3+ALL
L14 11975 S E3+NT
E E10+ALL
L15 40766 S E4,E3+NT
E SUBSTANCE ABUSE/CT
E E3+ALL
L16 2052 S E2
E ADDICTION/CT
E WITHDRAWAL/CT
E TOBACCO/CT
E TOBACCO SMOKE/CT
L17 16079 S E3-E9
E E6+ALL
L18 8814 S E1
E E2+ALL
L19 7652 S E2,E1+NT
E ALCOHOLISM/CT
L20 3450 S E3
E E3+ALL
L21 1072 S E5
L22 2 S L11 AND L13-L21
L23 1 S L22 NOT RESTLESS LEG

FILE 'REGISTRY' ENTERED AT 09:29:42 ON 28 JUL 2003
L24 2 S (NICOTINE OR ETHANOL)/CN

FILE 'HCAPLUS' ENTERED AT 09:29:49 ON 28 JUL 2003

```
L25           1 S L24 AND L11
                E ANDERSON R/AU
L26         324 S E3,E44-E46
                E ANDERSON RICH/AU
L27          54 S E4
L28          29 S E51-E53
                E MCBRINN S/AU
L29           2 S E5,E6
                E MC BRINN S/AU
                E MCBRIN S/AU
                E ROBERTSON D/AU
L30         135 S E3,E31
L31         148 S E51
L32         166 S E76-E78
                E MARSHALL R/AU
L33         233 S E3,E8
                E MARCHAL ROB/AU
                E MARSHALL ROB/AU
L34         163 S E4,E8-E10
L35           3 S L11 AND L26-L34
L36           3 S L1,L12,L23,L35
L37          20 S L11 AND (PD<=20000816 OR PRD<=20000816 OR AD<=20000816)

     FILE 'REGISTRY' ENTERED AT 09:34:57 ON 28 JUL 2003
L38             STR
L39           5 S L38
L40         319 S L38 FUL
                SAV L40 VKIM929/A TEMP
L41             STR L38
L42           7 S L41 SAM SUB=L40
L43             STR L41
L44           2 S L43 SAM SUB=L40
L45             STR L43
L46           5 S L45 SAM SUB=L40
L47          52 S L43 FUL SUB=L40
L48          67 S L45 FUL SUB=L40
                SAV TEMP L47 VKIM929A/A
                SAV TEMP L48 VKIM929B/A
L49         119 S L47,L48
L50         315 S L40 NOT L8

     FILE 'HCAPLUS' ENTERED AT 09:50:30 ON 28 JUL 2003
L51          63 S L50
L52           0 S L51 AND ADDICT?
L53           2 S L51 AND L13-L21
L54           2 S L51 AND L24
L55           4 S L53,L54
L56           2 S L55 AND (COCAIN? OR CANNABI?)
L57           0 S L51 AND L26-L34
L58          17 S L51 AND (ABUS? OR WITHDRAW? OR ?TOLER? OR DEPEND? OR INTOX? O
L59           5 S L36,L56

     FILE 'REGISTRY' ENTERED AT 09:55:02 ON 28 JUL 2003

     FILE 'HCAPLUS' ENTERED AT 09:55:37 ON 28 JUL 2003

     FILE 'REGISTRY' ENTERED AT 09:56:16 ON 28 JUL 2003
L60           1 S L2 AND CABER?
L61           2 S 81409-90-7/CRN

     FILE 'HCAPLUS' ENTERED AT 09:57:56 ON 28 JUL 2003
L62         207 S L60 OR L61
L63         245 S CABERGOLIN? OR CABASER# OR DOSTINEX OR GALASTOP# OR SOGILEN#
```

```
L64          257 S L62,L63
L65            3 S L64 AND ADDICT?
L66            7 S L64 AND L13-L21
L67            6 S L64 AND L24
L68           12 S L65-L67
                 SEL DN AN 4 6 8
L69            3 S E1-E9 AND L68
                 SEL HIT RN

     FILE 'REGISTRY' ENTERED AT 10:01:58 ON 28 JUL 2003
L70            3 S E10-E12

     FILE 'REGISTRY' ENTERED AT 10:02:03 ON 28 JUL 2003

     FILE 'HCAPLUS' ENTERED AT 10:02:21 ON 28 JUL 2003

     FILE 'BIOSIS' ENTERED AT 10:02:34 ON 28 JUL 2003
L71           10 S L11

     FILE 'MEDLINE' ENTERED AT 10:03:18 ON 28 JUL 2003
L72            6 S L11

     FILE 'EMBASE' ENTERED AT 10:03:40 ON 28 JUL 2003
L73           11 S L11

     FILE 'USPATFULL, USPAT2' ENTERED AT 10:04:12 ON 28 JUL 2003
L74           47 S L11
L75           11 S L74 AND ADDICT?
L76            3 S L74 AND L24
                 E TOBACCO/CT
L77            0 S L74 AND E3
L78            0 S L74 AND E31
L79            2 S L74 AND E35,E36
                 E DRUG DEPENDENCE/CT
L80            3 S L74 AND E3,E11
L81            0 S L74 AND E14
L82            5 S L74 AND E18
L83           14 S L75-L82
L84           26 S L74 AND (PD<=20000816 OR PRD<=20000816)
L85            8 S L83 AND L84
L86           18 S L84 NOT L85

     FILE 'USPATFULL, USPAT2' ENTERED AT 10:07:45 ON 28 JUL 2003
```